10/513699 10/529,517 compositions

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR 7):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

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NEWS  1          Web Page for STN Seminar Schedule - N. America
NEWS  2  MAR 15  WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS  3  MAR 16  CASREACT coverage extended
NEWS  4  MAR 20  MARPAT now updated daily
NEWS  5  MAR 22  LWPI reloaded
NEWS  6  MAR 30  RDISCLOSURE reloaded with enhancements
NEWS  7  APR 02  JICST-EPLUS removed from database clusters and STN
NEWS  8  APR 30  GENBANK reloaded and enhanced with Genome Project ID field
NEWS  9  APR 30  CHEMCATS enhanced with 1.2 million new records
NEWS 10  APR 30  CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11  APR 30  INPADOC replaced by INPADOCDB on STN
NEWS 12  MAY 01  New CAS web site launched
NEWS 13  MAY 08  CA/CAplus Indian patent publication number format defined
NEWS 14  MAY 14  RDISCLOSURE on STN Easy enhanced with new search and display
                 fields
NEWS 15  MAY 21  BIOSIS reloaded and enhanced with archival data
NEWS 16  MAY 21  TOXCENTER enhanced with BIOSIS reload
NEWS 17  MAY 21  CA/CAplus enhanced with additional kind codes for German
                 patents
NEWS 18  MAY 22  CA/CAplus enhanced with IPC reclassification in Japanese
                 patents
NEWS 19  JUN 27  CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20  JUN 29  STN Viewer now available
NEWS 21  JUN 29  STN Express, Version 8.2, now available
NEWS 22  JUL 02  LEMBASE coverage updated
NEWS 23  JUL 02  LMEDLINE coverage updated
NEWS 24  JUL 02  SCISEARCH enhanced with complete author names
NEWS 25  JUL 02  CHEMCATS accession numbers revised
NEWS 26  JUL 02  CA/CAplus enhanced with utility model patents from China
NEWS 27  JUL 16  CAplus enhanced with French and German abstracts
NEWS 28  JUL 18  CA/CAplus patent coverage enhanced
NEWS 29  JUL 26  USPATFULL/USPAT2 enhanced with IPC reclassification

NEWS EXPRESS  29 JUNE 2007:  CURRENT WINDOWS VERSION IS V8.2,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

NEWS HOURS    STN Operating Hours Plus Help Desk Availability
NEWS LOGIN    Welcome Banner and News Items
NEWS IPC8     For general information regarding STN implementation of IPC 8
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Enter NEWS followed by the item number or name to see news on that specific topic.

<12/04/2007> Erich Leese

10/513699

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:21:43 ON 26 JUL 2007

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=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY     SESSION
FULL ESTIMATED COST                                 0.21        0.21

FILE 'REGISTRY' ENTERED AT 16:21:53 ON 26 JUL 2007
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provided by InfoChem.

STRUCTURE FILE UPDATES:   25 JUL 2007  HIGHEST RN 943407-83-8
DICTIONARY FILE UPDATES:  25 JUL 2007  HIGHEST RN 943407-83-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10524517composition.str

L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR
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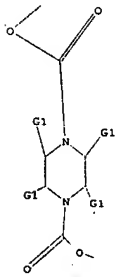
<12/04/2007> Erich Leese

10/513699



G1 C,H,O,X

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 16:22:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      238 TO ITERATE

100.0% PROCESSED      238 ITERATIONS                43 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE  **COMPLETE**
                         BATCH   **COMPLETE**
PROJECTED ITERATIONS:          3635 TO     5685
PROJECTED ANSWERS:              467 TO     1253

L2            43 SEA SSS SAM L1

=> s l1 full
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100.0% PROCESSED     5090 ITERATIONS                870 ANSWERS
SEARCH TIME: 00.00.01

L3           870 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY     SESSION
FULL ESTIMATED COST                               172.10      172.31

FILE 'CAPLUS' ENTERED AT 16:22:31 ON 26 JUL 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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<12/04/2007> Erich Leese

10/513699

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FILE COVERS 1907 - 26 Jul 2007 VOL 147 ISS 5
FILE LAST UPDATED: 25 Jul 2007 (20070725/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

```
=> s l3 full
L4          410 L3

=>
=> FIL STNGUIDE
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY     SESSION
FULL ESTIMATED COST                                13.16      185.47

FILE 'STNGUIDE' ENTERED AT 16:39:22 ON 26 JUL 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul 20, 2007 (20070720/UP).

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
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FULL ESTIMATED COST                                 0.24      185.71

FILE 'REGISTRY' ENTERED AT 16:41:49 ON 26 JUL 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES:   25 JUL 2007  HIGHEST RN 943407-83-8
DICTIONARY FILE UPDATES:  25 JUL 2007  HIGHEST RN 943407-83-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.
```

<12/04/2007> Erich Leese

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
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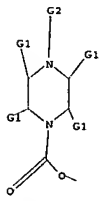
L5 STRUCTURE UPLOADED

=> d 15
L5 HAS NO ANSWERS
L5 STR



G1 C,H,O,X
G2 C,H

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 58988 TO ITERATE

100.0% PROCESSED 58988 ITERATIONS 19409 ANSWERS
SEARCH TIME: 00.00.01

L6 19409 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY 172.10 TOTAL SESSION 357.81
FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 16:42:14 ON 26 JUL 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 26 Jul 2007 VOL 147 ISS 5
FILE LAST UPDATED: 25 Jul 2007 (20070725/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l6 full
L7 5170 L6

=> s l7 and l4
L8 410 L7 AND L4

=> s l8 and py<2003
22882984 PY<2003
L9 273 L8 AND PY<2003

=> s l9 and composition
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318904 COMPOSITIONS
1003425 COMPOSITION
(COMPOSITION OR COMPOSITIONS)
1476253 COMPN
599079 COMPNS
1810212 COMPN
(COMPN OR COMPNS)
2274922 COMPOSITION
(COMPOSITION OR COMPN)
L10 22 L9 AND COMPOSITION

=> d ibib abs hitstr tot

L10 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:284138 CAPLUS
DOCUMENT NUMBER: 142:355256
TITLE: Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists
INVENTOR(S): Lily, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Harriman, Geraldine C. B.; Carson, Kenneth G.; Ghosh, Shomir; Elder, Amy M.; Mattia, Karen M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 194 pp., Cont.-in-part of U.S. Ser. No. 989,086, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 2005070549	A1	20050331	US 2004-487168	20041007	
US 7186729	B2	20070306			
US 6613905	B1	20030902	US 1998-148823	19980904	
US 6329385	B1	20011211	US 1999-235102	19990121	<--
US 2002119973	A1	20020829	US 1999-362837	19990728	<--
US 6509346	B2	20030121			
US 2002169155	A1	20021114	US 2001-989086	20011121	<--
WO 2003045942	A2	20030605	WO 2002-US36953	20021113	
WO 2003045942	A3	20030912			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2007060592	A1	20070315	US 2006-595653	20061110	
AU 2007200261	A1	20070208	AU 2007-200261	20070123	
PRIORITY APPLN. INFO.:			US 1998-148823	A2 19980904	
			US 1999-235102	A2 19990121	
			US 1999-362837	A2 19990728	
			US 2000-627886	B2 20000728	
			US 2001-989086	B2 20011121	
			WO 2002-US36953	W 20021113	
			US 1998-10320	B2 19980121	
			AU 2002-352772	A3 20021113	
			US 2004-487168	A1 20041007	

OTHER SOURCE(S): MARPAT 142:355256
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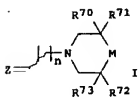

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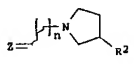

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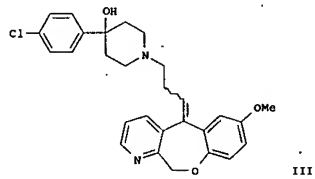
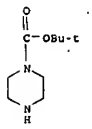


III

AB Therapeutically effective compds. I [Z = (un)substituted heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4; M = NR2, CR1R2; R1 = H, OH, N3, etc.; R2 = OH, halo, acyl, aryl, etc.; R70, R71 = H, OH, N3, etc.; R72, R73 = O, N2, halo, etc.] and II [Z, n are defined as above; R2 = OH, halo, acyl, aryl, etc.] were prepared for treatment of diseases associated with aberrant leukocyte recruitment and/or activation (no data). I and II displayed chemokine binding activities with IC50 values ranging from < 1 μM to < 1000 μM. Thus, the [{[1]benzoxepino[2,3-b]pyridinylidene)propyl]piperidinol III was prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated The pharmaceutical compns. comprising the compound I or II is disclosed.

IT 57260-71-6, tert-Butyl 1-piperazinecarboxylate 120737-59-9, 3-Methylpiperazine-1-carboxylic acid tert-butyl ester 183742-33-8, 3-Methoxycarbonylmethyl-piperazine-1-carboxylic acid tert-butyl ester 218278-58-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic piperidinols and pyrrolidines as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 57260-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 120737-59-9 CAPLUS
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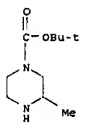

RN 183742-33-8 CAPLUS
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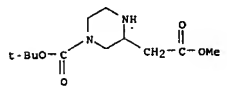

RN 218278-58-1 CAPLUS
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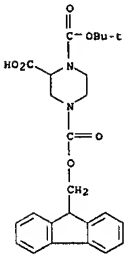
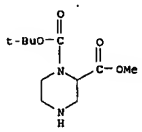
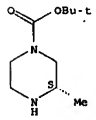


IT 129799-15-1P 147081-29-6P 163765-44-4P
849106-89-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic piperidinols and pyrrolidines as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)
RN 129799-15-1 CAPLUS
CN 1,2-Piperazinedicarboxylic acid, 1-(1,1-dimethylethyl) 2-methyl ester (CA INDEX NAME)



RN 147081-29-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-methyl-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 163765-44-4 CAPLUS
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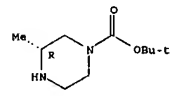
Absolute stereochemistry. Rotation (-).



RN 849106-89-4 CAPLUS
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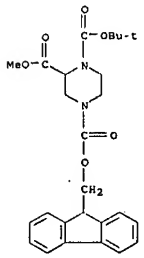


REFERENCE COUNT: 151 THERE ARE 151 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:927186 CAPLUS
DOCUMENT NUMBER: 138:14076
TITLE: Preparation of piperazine pentanamide HIV protease inhibitors and methods of treating AIDS
INVENTOR(S): Tata, James R.; Raghavan, Subharekha; Lu, Zhijian; Zhang, Fengqi; Cheng, Yuan; Chang, Jiang; Kim, Ronald M.; Bohn, Joann M.; Rano, Thomas; Shen, Dong-Ming; Shu, Min
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Huening, Tracy T.
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096359	A2	20021205	WO 2002-US16739	20020524 <--
WO 2002096359	A3	20030313		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

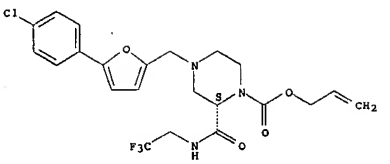
AU 2002305720	A1	20021209	AU 2002-305720	20020524 <--
PRIORITY APPLN. INFO.:			US 2001-294370P	P 20010530
			WO 2002-US16739	W 20020524

OTHER SOURCE(S): MARPAT 138:14076
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

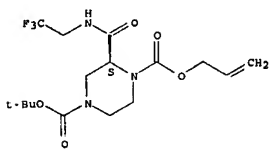
AB Title compds. I [A = CH or N; R1 = F or Cl; R2 and R3 independently equal H or CH3; R4 = (un)substituted-aryl or -heteroaryl], are prepared and disclosed as inhibitors of HIV protease and inhibitors of HIV replication. Thus, II was prepared in sixteen steps from 4-chromanone with a key step being the resolution of racemic 4-amino-3-chromanol. I possessed IC50 values in the range of 0.02 to about 5 nM against mutant enzymes Q-60, K-60, and V-18. These compds. are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, either as compds., pharmaceutically acceptable salts, pharmaceutical compn. ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described. These compds. are effective against HIV viral mutants which are resistant to HIV protease inhibitors currently used for treating AIDS and HIV infection.
IT 477578-46-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation and HIV protease inhibitory activity of N-hydroxybenzopyran piperazine pentanamides)
RN 477578-46-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[5-(4-chlorophenyl)-2-furanyl]methyl]-2-[[(2,2,2-trifluoroethyl)amino]carbonyl]-, 2-propenyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



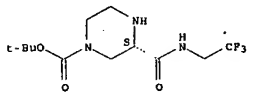
IT 342600-50-4P 342600-51-5P 342601-39-2P
342603-38-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation and HIV protease inhibitory activity of N-hydroxybenzopyran piperazine pentanamides)
RN 342600-50-4 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[(2,2,2-trifluoroethyl)amino]carbonyl]-, 4-(1,1-dimethylethyl) 1-(2-propenyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



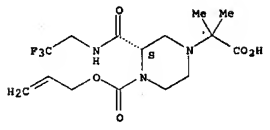
RN 342600-51-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



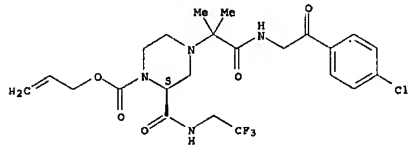
RN 342601-39-2 CAPLUS
CN 1-Piperazineacetic acid, α,α-dimethyl-4-[(2-propenyloxy)carbonyl]-3-[[(2,2,2-trifluoroethyl)amino]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 342603-38-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[[2-(4-chlorophenyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-2-[[(2,2,2-trifluoroethyl)amino]carbonyl]-, 2-propenyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849607 CAPLUS
DOCUMENT NUMBER: 137:353007
TITLE: Preparation of β-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases
INVENTOR(S): Shisetti, Govinda R.; Saunders, Jeffrey O.; Murcko, Mark A.; Lepre, Christopher A.; Britt, Shawn D.; Come, Jon H.; Deninger, David D.; Wang, Tianshang
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088101	A2	20021107	WO 2002-US13741	20020429 <--
WO 2002088101	A3	20030103		

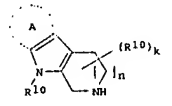
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002256418	A1	20021111	AU 2002-256418	20020429 <--
US 2003095958	A1	20030522	US 2002-136576	20020429
EP 1389194	A2	20040218	EP 2002-725881	20020429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534017	T	20041111	JP 2002-585403	20020429
PRIORITY APPLN. INFO.:			US 2001-287169P	P 20010427
			US 2001-301049P	P 20010626
			US 2001-342263P	P 20011218
			WO 2002-US13741	W 20020429

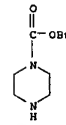
OTHER SOURCE(S): MARPAT 137:353007
GI



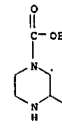
AB The present invention relates to a wide variety of inhibitors (e.g. naphthalene-1-carboxylic acid N-[2-(3,4-dichlorophenyl)-4-(piperazin-1-yl)pyrimidin-5-yl]amide; 9-[(naphthalen-2-yl)methyl]-6-[(3-trifluoromethylbenzyl)oxy]-2,3,4,9-tetrahydro-1H- β-carboline; 4-(biphenyl-4-yl)piperidine-3-carboxylic acid N-(1-(naphthalen-2-yl)ethyl)amide) of aspartic proteinases, particularly, BACE. The present invention also relates to compns. thereof and methods therewith for inhibiting BACE activity in a mammal, and for treating Alzheimer's Disease and other BACE-mediated diseases. The inhibitors have the following structural features: HB-1, HPB-4, and at least one of HPB-2 and HPB-3, wherein: HB-1 is a 1st H bonding moiety capable of forming up to four H bonds with the carboxylate O atoms of Asp-228 and Asp-32 of BACE-1; HPB-2 is a 2nd hydrophobic moiety capable of associating with substantially all residues in the flap binding pocket; HPB-3 is a 3rd hydrophobic moiety capable of associating with substantially all residues in the P2' binding pocket; HPB-4 is a 4th hydrophobic moiety capable of inducing favorable interactions with the Ph ring of at least two of Tyr-71, Phe-108 and Trp-76. In I (e.g. [6-(2-difluoromethoxybenzyloxy)-1,2,3,4-tetrahydro-β-carbolin-9-yl]naphthalen-1-ylmethanone), one set of the claimed compds., A is a five or six membered aryl ring having 0-2 heteroatoms independently selected from N, O or S, wherein: A has at least one R10 substituent and up to three more substituents selected from R10 or J; k is 0 or 1; n is 0-2; J is halogen, -R', -OR', -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R')2, -SR', :S(O)R', -S(O)N(R')2, -SO2R', -C(O)R', -CO2R', -C(O)N(R')2, -N(R')C(O)R', -N(R')C(O)OR', -N(R')C(O)N(R')2, or -OC(O)N(R')2, wherein R' is H, aliphatic, heterocyclyl, heterocyclyl-alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; wherein R' is optionally substituted with up to 3 substituents selected independently from -R11, -OR11, -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R11)2, -SR11, -S(O)R11, -S(O)N(R11)2, -SO2R11, -C(O)R11, -CO2R11, -C(O)N(R11)2,

-N(R11)C(O)R', -N(R11)C(O)OR11, -N(R11)C(O)N(R11)2, or -OC(O)N(R11)2. R11 is H, (C1-C6)-alkyl, (C2-C6)-alkenyl or alkynyl, or (C3-C6)cycloalkyl; R10 is P1-R1-P2-R2-W; P1 and P2 each are independently: absent or aliphatic; R1 and R2 each are independently: absent or R; R is a suitable linker; W is a five to eleven membered monocyclic or bicyclic, aromatic or nonarom. ring having zero to three heteroatoms independently selected from O, S, N, or NH, wherein W has up to 3 substituents independently selected from J. Ranges of Ki values (>30, 3-30 and <3 μM) for inhibition of BACE-1 are tabulated for .apprx.500 compds. Although the methods of preparation are not claimed, 30 example prepns. are included.

IT 57260-71-6 128019-59-0, Piperazine-1,3-dicarboxylic acid 1-tert-butyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of β-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases)
RN 57260-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester (CA INDEX NAME)

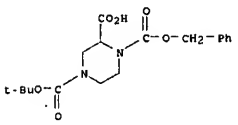


RN 128019-59-0 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 1-(1,1-dimethylethyl) ester (CA INDEX NAME)

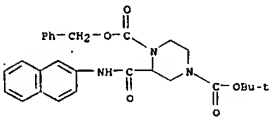


IT 126937-41-5P, Piperazine-1,2,4-tricarboxylic acid 1-benzyl ester 4-tert-butyl ester 474330-01-3P, 2-(Naphthalen-2-ylcarbamoyl)piperazine-1,4-dicarboxylic acid 1-benzyl ester 4-tert-butyl ester 474330-02-4P, 4-[4-(4-Chloro-2-methylphenoxy)butyryl]-3-(naphthalen-2-ylcarbamoyl)piperazine-1-carboxylic acid tert-butyl ester 474330-03-5P, 3-(Naphthalen-2-ylcarbamoyl)piperazine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases)
RN 126937-41-5 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 4-(1,1-dimethylethyl) 1-(phenylmethyl)

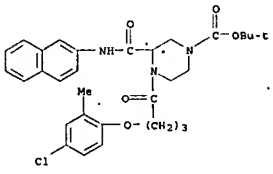
ester (CA INDEX NAME)



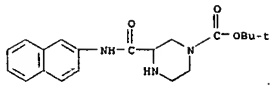
RN 474330-01-3 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(2-naphthalenylamino)carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 474330-02-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-(4-chloro-2-methylphenoxy)-1-oxobutyl]-3-[(2-naphthalenylamino)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 474330-03-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[(2-naphthalenylamino)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:793399 CAPLUS
DOCUMENT NUMBER: 137:304744
TITLE: Treatment of malaria with farnesyl protein transferase inhibitors
INVENTOR(S): Windsor, William T.; Weber, Patricia C.; Strickland, Corey O.; Girijavallabhan, Viyyoor M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002080895	A2	20021017	WO 2002-US10698	20020404 <--
WO 2002080895	A3	20031106		

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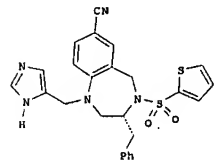
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002257114	A1	20021021	AU 2002-257114	20020404 <--
PRIORITY APPLN. INFO.:			US 2001-282092P	P 20010406
			US 2001-283107P	P 20010411
			WO 2002-US10698	W 20020404

OTHER SOURCE(S): MARPAT 137:304744
GI

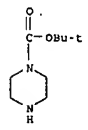


I

AB Disclosed is a method of treating Malaria comprising administering to a patient in need of such treatment an effective amount of at least one farnesyl protein transferase (FPT) inhibitor alone or in combination with an addnl. antimalarial agent and/or agent for reversing antimalarial resistance. Also disclosed are pharmaceutical compns. comprising at least one FPT inhibitor, in combination with at least one addnl. antimalaria agent and/or at least one addnl. agent for reversing antimalarial resistance, and a pharmaceutically acceptable carrier. Synthetic methods to prepare 15 of 26 claimed FPT inhibitors are provided. The claimed FPT inhibitors possessed ED50 values (μM) of 0.05-5 in in vitro plasmodium falciparum growth inhibition assays. Specifically, I demonstrated an ED50 range of 0.05-0.2 in the assay.

IT 57260-71-6, N-Boc-piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazolyl containing heterocyclic compds. as farnesyl protein transferase inhibitors for the treatment of malaria)

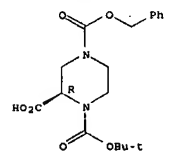
RN 57260-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 138775-02-7P 173774-48-6P 278788-60-6P 443925-36-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazolyl containing heterocyclic compds. as farnesyl protein transferase inhibitors for the treatment of malaria)

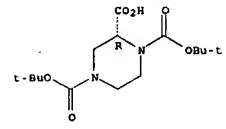
RN 138775-02-7 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 1-(1,1-dimethylethyl) 4-(phenylmethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



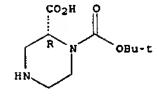
RN 173774-48-6 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 1,4-bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



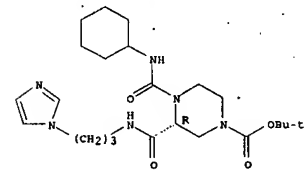
RN 278788-60-6 CAPLUS
CN 1,2-Piperazinedicarboxylic acid, 1-(1,1-dimethylethyl) ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 443925-36-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(cyclohexylamino)carbonyl]-3-[[[3-(1H-imidazol-1-yl)propyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:90007 CAPLUS
DOCUMENT NUMBER: 136:151439
TITLE: Preparation of novel peptides as NS3-serine protease

inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Bogen, Stephane L.; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Liu, Yi-Tsung; Chan, Tin-Yau; Zhu, Zhaoning; Arasappan, Ashok; Chen, Kevin X.; Venkatraman, Srikanth; Parekh, Tejal N.; Pinto, Patrick A.; Santhanam, Bama; Njoroge, F. George; Ganguly, Ashit K.; Vaccaro, Henry A.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.

PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.

SOURCE: PCT Int. Appl., 188 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

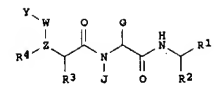
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008187	A1	20020131	WO 2001-US22813	20010719 <--
WO 2002008187	A9	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2410682	A1	20020131	CA 2001-2410682	20010719 <--
US 2002160962	A1	20021031	US 2001-909012	20010719 <--
US 7169760	B2	20070130		
EP 1303487	A1	20030423	EP 2001-959041	20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012666	A	20030610	BR 2001-12666	20010719
HU 200303358	A2	20040128	HU 2003-3358	20010719
JP 2004513881	T	20040513	JP 2002-514094	20010719
NZ 523781	A	20041029	NZ 2001-523781	20010719
ZA 2002010311	A	20040319	ZA 2002-10311	20021219
IN 2003CN00088	A	20050408	IN 2003-CN88	20030116
NO 2003000271	A	20030318	NO 2003-271	20030120
MX 2003PA00626	A	20040730	MX 2003-PA626	20030120
US 2005176648	A1	20050811	US 2005-89192	20050324

PRIORITY APPLN. INFO.: US 2000-220107P P 20000721; US 2001-909012 A3 20010719; WO 2001-US22813 W 20010719

OTHER SOURCE(S): MARPAT 136:151439

GI



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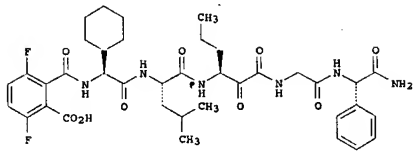


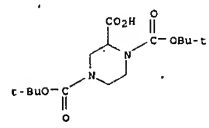
II

AB Novel peptides I [G, J, Y = independently H, alkyl, alkyl-aryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkyl-heteroaryl, cycloalkyl, alkoxy, alkyl-aryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkyl-arylamino, arylamino, heteroarylamino, cycloalkylamino, and heterocycloalkylamino; Z = O, N, CH; W = null, CO, CS, SO2; R1 = COR5, B(OR)2; R5 = H, OH, OR8, NR9R10, CF3, C2F5, C3F7, CF2R6, R6, COR7; R7 = H, OH, OR8, CHR9R10, NR9R10; R6, R8-10 = independently H, alkyl, aryl, heteroalkyl, cycloalkyl, arylalkyl, peptide derivative, etc.; R, R2-4 = independently H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, etc.] and their pharmaceutically salts which have hepatitis C virus (HCV) protease inhibitory activity were prepared via solution or solid-phase peptide coupling methods. Thus, peptide II was prepared using solid-phase methods and showed a Ki value in the range of 0-100 nM for HCV protease inhibitory activity. This invention also discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease.

IT 181955-79-3P 393581-32-3P 393581-33-4P
394203-74-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

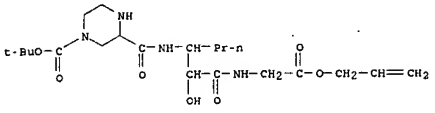
RN 181955-79-3 CAPLUS

CN 1,2,4-Piperazinetricarboxylic acid, 1,4-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



RN 393581-32-3 CAPLUS

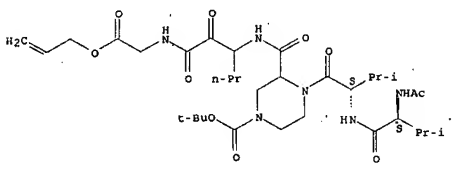
CN 1-Piperazinecarboxylic acid, 3-{[[1-[1-hydroxy-2-oxo-2-[[2-oxo-2-(2-propenyloxy)ethyl]amino]ethyl]butyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 393581-33-4 CAPLUS

CN Glycine, N-acetyl-L-valyl-L-valyl-4-[(1,1-dimethylethoxy)carbonyl]-2-piperazinecarbonyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

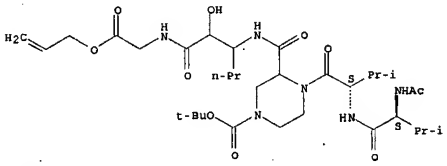
Absolute stereochemistry.



RN 394203-74-8 CAPLUS

CN Glycine, N-acetyl-L-valyl-L-valyl-4-[(1,1-dimethylethoxy)carbonyl]-2-piperazinecarbonyl-3-amino-2-hydroxyhexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10. ANSWER 6 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:12274 CAPLUS

DOCUMENT NUMBER: 134:86272

TITLE: Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds

INVENTOR(S): Hunt, Julianne A.; Mills, Sander G.; Sinclair, Peter J.; Zaller, Dennis M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 181 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000214	A1	20010104	WO 2000-US17472	20000626 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376951	A1	20010104	CA 2000-2376951	20000626 <--
US 6316444	B1	20011113	US 2000-603699	20000626 <--
EP 1194152	A1	20020410	EP 2000-944858	20000626 <--
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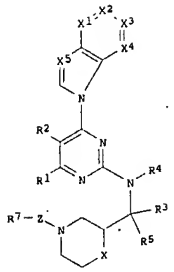
JP 2003503354	T	20030128	JP 2001-505923	20000626

PRIORITY APPLN. INFO.: US 1999-141597P P 19990630; WO 2000-US17472 W 20000626

OTHER SOURCE(S): MARPAT 134:86272

GI



I

AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, alkoxycarbonylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl (Ph or naphthyl unsubstituted or substituted with 1-3 substituents), or R3 and R5 taken together can represent :O. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl, or R4 and X can join together to form a 5- or 6-membered ring with substituted methylene or ethylene. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5 = N, CH. R7 = H, alkyl, alkoxy, amino. X = O, S, SO, SO2, imino. Z = C:O, SO2, substituted P(:O)(OH) or a single bond. 44 Example prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

IT 317365-07-4P, (S,S)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butyloxycarbonylpiperazine 317365-33-6P, 1-(Benzyloxycarbonyl)-2-hydroxymethyl-4-(tert-butyloxycarbonyl)piperazine 317365-34-7P, 1-(Benzyloxycarbonyl)-2-aminomethyl-4-(tert-butyloxycarbonyl)piperazine 317365-35-8P, 2-[(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-44-9P, 1-Benzyloxycarbonyl-4-tert-butyloxycarbonylpiperazin-2-(N-methyl-N-methoxy)carboxamide 317365-45-0P, 1-Benzyloxycarbonyl-2-acetyl-4-tert-butyloxycarbonylpiperazine 317365-46-1P, (R*,R*)-1-Benzyloxycarbonyl-2-(1-hydroxyethyl)-4-tert-butyloxycarbonylpiperazine 317365-47-2P,

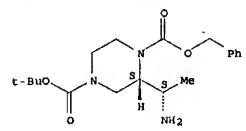
(R*,R*)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butyloxycarbonylpiperazine 317365-48-3P, (R*,R*)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-49-4P, (R*,R*)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-50-7P, (R*,S*)-1-Benzyloxycarbonyl-2-(1-hydroxyethyl)-4-tert-butyloxycarbonylpiperazine 317365-51-8P, (R*,S*)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butyloxycarbonylpiperazine 317365-52-9P, (R*,S*)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-53-0P, (R*,S*)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-54-1P, 2-(1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino)-4-(benzimidazol-1-yl)-5-bromopyrimidine 317365-55-2P, 2-[1-(4-(Tert-Butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)pyrimidine 317365-56-3P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine 317365-58-5P, 2-[1-(1-Methyl-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-61-0P, 2-[Benzimidazol-1-yl]-4-[1-(1-(benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]pyrimidine 317365-62-1P, 2-[Benzimidazol-1-yl]-4-[1-(1-(benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]pyrimidine 317365-63-2P, (S)-1-Benzyloxycarbonyl-4-tert-butyloxycarbonylpiperazin-2-(N-methyl-N-methoxy)carboxamide 317365-64-3P, (S)-1-Benzyloxycarbonyl-2-acetyl-4-tert-butyloxycarbonylpiperazine 317365-65-4P 317365-66-5P 317365-68-7P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(indol-1-yl)pyrimidine 317365-69-8P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(indol-1-yl)pyrimidine 317365-75-6P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-76-7P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-79-0P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-80-3P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-84-7P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(2-(2,4,6-trimethoxybenzylamino)pyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-85-8P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(2-aminopyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-86-9P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-87-0P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

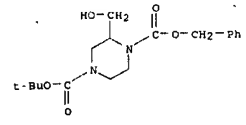
(intermediate; preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

RN 317365-07-4 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1S)-1-aminoethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

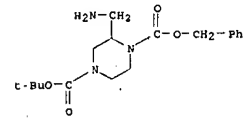
Absolute stereochemistry.



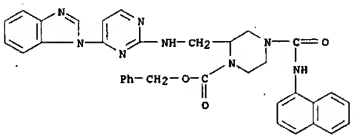
RN 317365-33-6 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-(hydroxymethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (CA INDEX NAME)



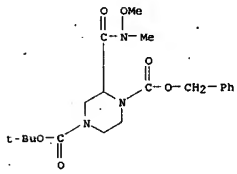
RN 317365-34-7 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-(aminomethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



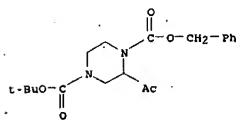
RN 317365-35-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 317365-44-9 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(methoxymethylamino)carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

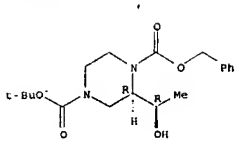


RN 317365-45-0 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-acetyl-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



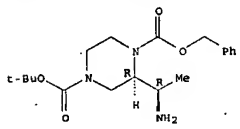
RN 317365-46-1 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1R)-1-hydroxyethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



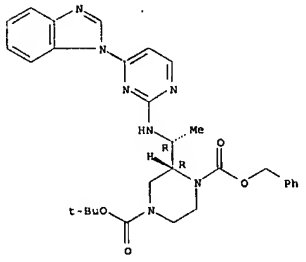
RN 317365-47-2 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1R)-1-aminoethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 317365-48-3 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2R)-rel- (9CI) (CA INDEX NAME)

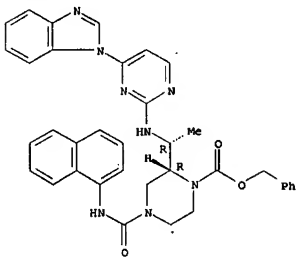
Relative stereochemistry.



RN 317365-49-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-

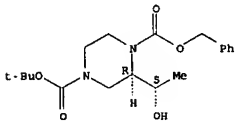
pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester, (2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



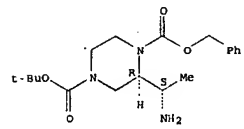
RN 317365-50-7 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1R)-1-hydroxyethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



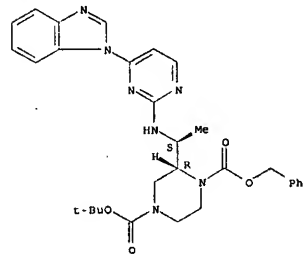
RN 317365-51-8 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1R)-1-aminoethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



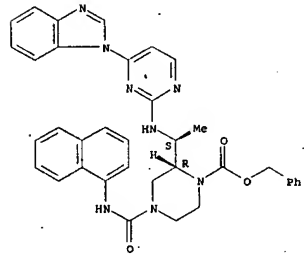
RN 317365-52-9 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

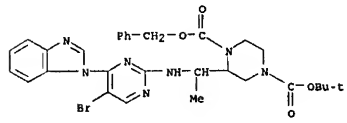


RN 317365-53-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester, (2S)-rel- (9CI) (CA INDEX NAME)

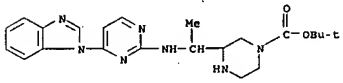
Relative stereochemistry.



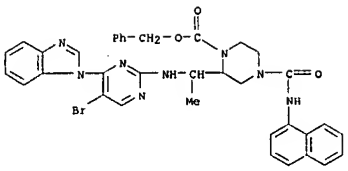
RN 317365-54-1 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[1-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



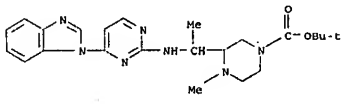
RN 317365-55-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



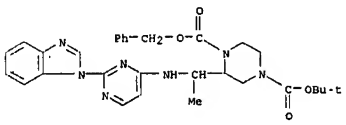
RN 317365-56-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



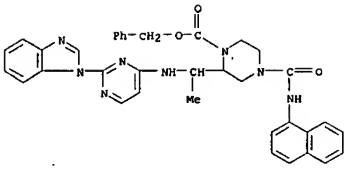
RN 317365-58-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317365-61-0 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[1-[[2-(1H-benzimidazol-1-yl)-4-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

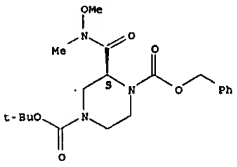


RN 317365-62-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[2-(1H-benzimidazol-1-yl)-4-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



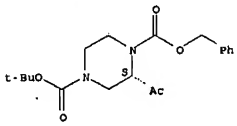
RN 317365-63-2 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(methoxymethylamino)carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



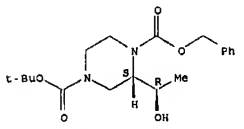
RN 317365-64-3 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-acetyl-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



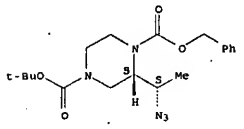
RN 317365-65-4 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1R)-1-hydroxyethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

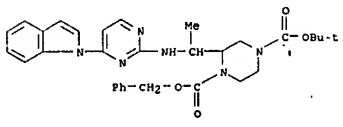


RN 317365-66-5 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1S)-1-azidoethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

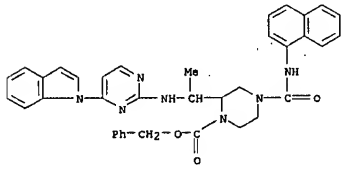
Absolute stereochemistry.



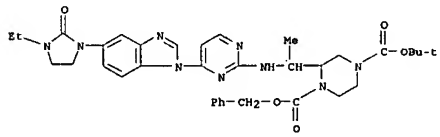
RN 317365-68-7 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[1-[[4-(1H-indol-1-yl)-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



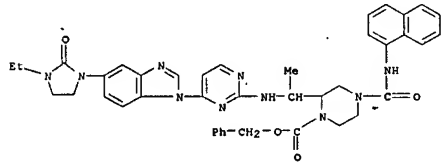
RN 317365-69-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[4-(1H-indol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 317365-75-6 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[1-[[4-[5-(3-ethyl-2-oxo-1-imidazolidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

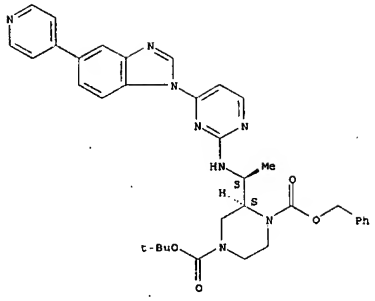


RN 317365-76-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[4-[5-(3-ethyl-2-oxo-1-imidazolidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



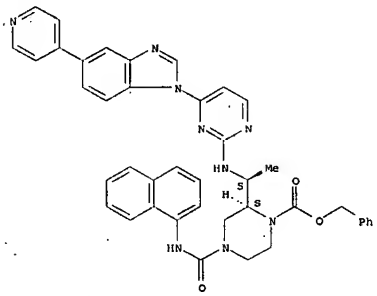
RN 317365-79-0 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1S)-1-[[4-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 317365-80-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(1-naphthalenylamino)carbonyl]-2-[(1S)-1-[[4-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

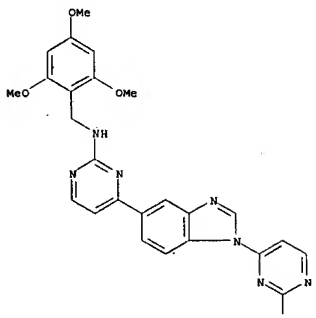


RN 317365-84-7 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1S)-1-[[4-[5-[2-[[(2,4,6-trimethoxyphenyl)methyl]amino]-4-pyrimidinyl]-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester,

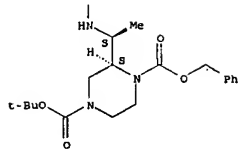
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



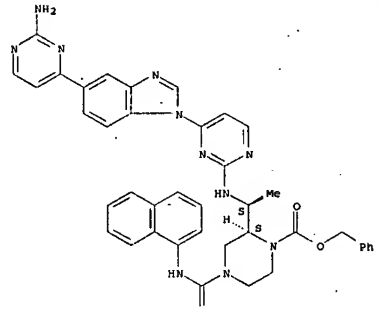
PAGE 2-A



RN 317365-85-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(1S)-1-[[4-[5-(2-amino-4-pyrimidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

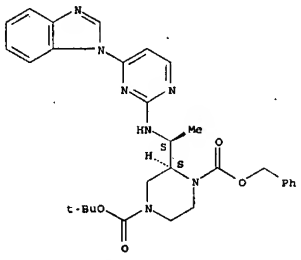
PAGE 1-A



PAGE 2-A

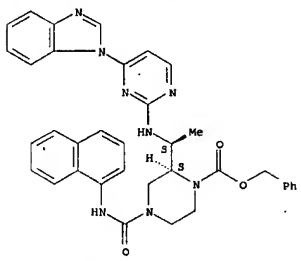
RN 317365-86-9 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



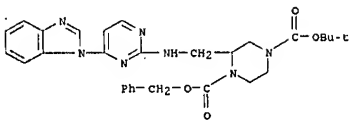
RN 317365-87-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 317364-88-8P, 2-[(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation as inhibitor of Src-family protein tyrosine kinases and reaction of)
RN 317364-88-8 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[4-(1H-benzimidazol-1-yl)-2-

pyrimidinyl]amino]methyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:12273 CAPLUS
DOCUMENT NUMBER: 134:86271
TITLE: Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
INVENTOR(S): Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 470 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000213	A1	20010104	WO 2000-US17443	20000626 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383546	A1	20010104	CA 2000-2383546	20000626 <--
EP 1206265	A1	20020522	EP 2000-941701	20000626 <--
EP 1206265	B1	20031112		
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JP 2003523942	T	20030812	JP 2001-505922	20000626
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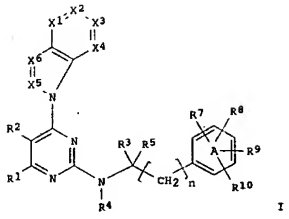
PRIORITY APPLN. INFO.:			US 1999-141639P P	19990630
			WO 2000-US17443 W	20000626

OTHER SOURCE(S): MARPAT 134:86271
GI

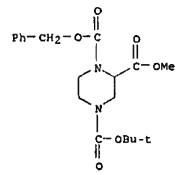


AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

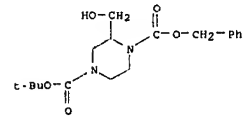
IT 126937-42-6P, Methyl 1-(benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazine-2-carboxylate 317365-33-6P, 1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)-2-hydroxymethylpiperazine 317829-98-4P, 1-(Benzyloxycarbonyl)-4-(tert-

butyloxycarbonyl)piperazine-2-carboxaldehyde 317829-99-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((1-benzyloxycarbonylpiperazin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrimidine deriva. as Src-family protein tyrosine kinase inhibitor compds.)

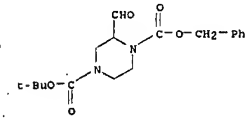
RN 126937-42-6 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 4-(1,1-dimethylethyl) 2-methyl 1-(phenylmethyl) ester (CA INDEX NAME)



RN 317365-33-6 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-(hydroxymethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (CA INDEX NAME)

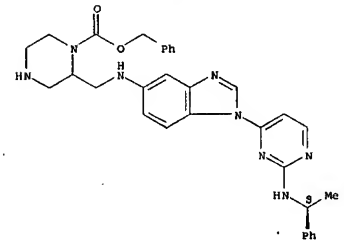


RN 317829-98-4 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-formyl-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 317829-99-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[[[1-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

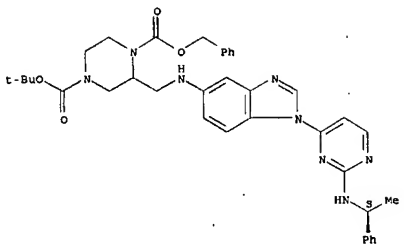
Absolute stereochemistry.



IT 317825-72-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((1-benzyloxycarbonyl-4-tert-butyloxycarbonylpiperazin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

RN 317825-72-2 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[1-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]amino]methyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



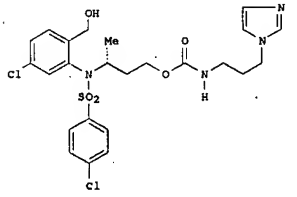
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:608717 CAPLUS
DOCUMENT NUMBER: 133:207678
TITLE: Preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to Aβ
INVENTOR(S): Smith, David W.; Munoz, Benito; Srinivasan, Kumar; Bergatrom, Carl P.; Chaturvedula, Prasad V.; Deshpande, Milind S.; Keavy, Daniel J.; Lau, Wai Yu; Parker, Michael F.; Sloan, Charles P.; Wallace, Owen B.; Wang, Henry Hui
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Bristol-Myers Squibb Company
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050391	A1	20000831	WO 2000-US4560	20000222 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2366919	A1	20000831	CA 2000-2366919	20000222 <--
EP 1159263	A1	20011205	EP 2000-910293	20000222 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008965	A	20020226	BR 2000-8965	20000222 <--
HU 200201020	A2	20020729	HU 2002-1020	20000222 <--

JP 2002537376	T	20021105	JP 2000-600975	20000222 <--
NZ 514453	A	20030429	NZ 2000-514453	20000222
AU 773273	B2	20040520	AU 2000-32410	20000222
IN 2001DN00714	A	20050311	IN 2001-DN714	20010809
ZA 2001006646	A	20021113	ZA 2001-6646	20010813 <--
NO 2001004135	A	20010927	NO 2001-4135	20010824 <--
MX 2001PA08606	A	20030505	MX 2001-PA8606	20010824
US 6967196	B1	20051122	US 2002-890927	20020219
PRIORITY APPLN. INFO.:			US 1999-121906P	P 19990226
			US 1999-122746P	P 19990226
			US 1999-122748P	P 19990226
			US 1999-130994P	P 19990423
			US 1999-130995P	A2 19990423
			WO 2000-US4560	W 20000222

OTHER SOURCE(S): MARPAT 133:207678
GI



I

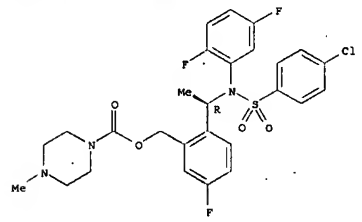
AB Title compds. [(D)(G)CHN(E)SO2(J); D = H, alkyl, heterocycle, halo, alkoxyl, ester, amide; G = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, (CHR1)nO(CHR2)mCONR3R4, heterocycle, aryl, amine, amide, ester, ether, carbamate; D-G = cyclic; n = 1, 2, 3, 4; m = 0, 1, 2, 3, 4; R1, R2, R3, R4 are independently H, alkyl; R3-R4 = cyclic; E = H, alkyl, alkenyl, alkynyl, heterocycle, aryl, alkoxyl, amide, sulfonyl, sulfonamidyl, sulfide; J = alkyl, alkenyl, alkynyl, aryl, heterocycle, polycyclic; J-E = cyclic], pharmaceutically acceptable salts, and compn. comprising title compds. are prepared Title compds. can act to modulate production of amyloid β protein (APP751, APP695wt, APP670/671, APP670/671/717, sAPP, α-sAPP, β-sAPP) and are useful in the prevention or treatment of a variety of diseases; such diseases are amyloid angiopathy, cerebral amyloid angiopathy, systemic amyloidosis, Alzheimer's disease, hereditary cerebral hemorrhage with amyloidosis of the Dutch type, inclusion body myositis, and Down's syndrome. Thus, the title compound I was prepared and tested.

IT 290316-20-0P 290316-55-1P 290316-68-6P
290317-56-5P 290317-79-2P 290317-80-5P
290317-84-9P 290319-38-9P 290320-11-5P
290325-74-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to Aβ)

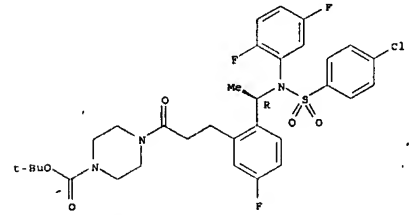
RN 290316-20-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, [2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]-5-fluorophenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



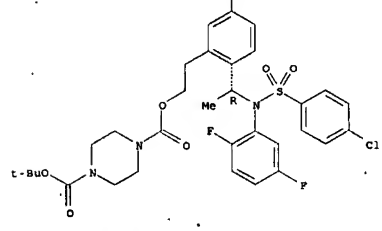
RN 290316-55-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]-5-fluorophenyl]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



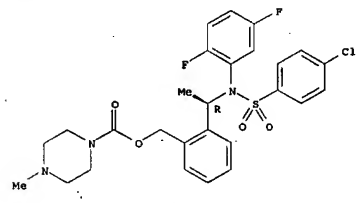
RN 290316-68-6 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]-5-fluorophenyl]ethyl 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



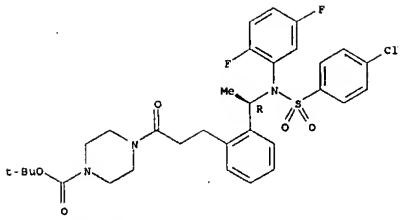
RN 290317-56-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, [2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



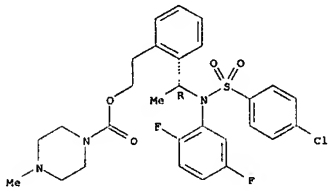
RN 290317-79-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]phenyl]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



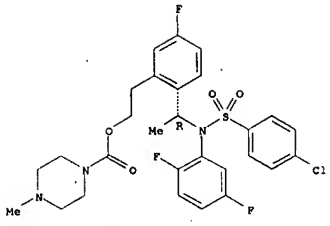
RN 290317-80-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 2-[2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]phenyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



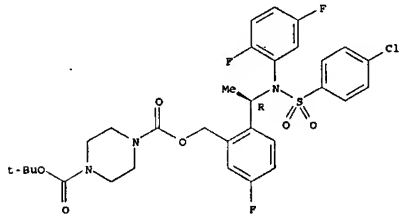
RN 290317-84-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 2-[2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]-5-fluorophenyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



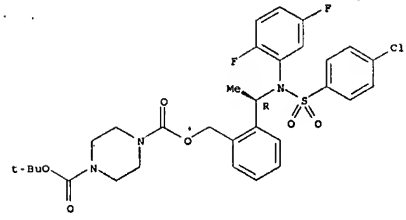
RN 290319-38-9 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, [2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]-5-fluorophenyl]methyl 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

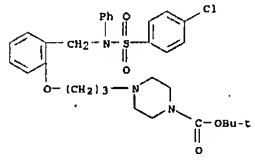


RN 290320-11-5 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, [2-[(1R)-1-[[(4-chlorophenyl)sulfonyl](2,5-difluorophenyl)amino]ethyl]phenyl]methyl 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

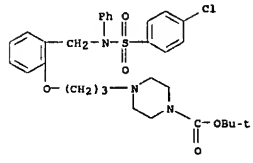


RN 290325-74-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[2-[[[(4-chlorophenyl)sulfonyl]phenylamino]methyl]phenoxy]propyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 290330-07-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to Aβ)
RN 290330-07-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[2-[[[(4-chlorophenyl)sulfonyl]phenylamino]methyl]phenoxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

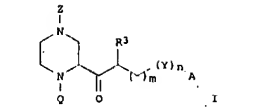


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:457060 CAPLUS
DOCUMENT NUMBER: 133:89547
TITLE: Preparation of N-imidazolylalkyl piperazinecarboxamides as inhibitors of farnesyl protein transferase
INVENTOR(S): Cooper, Alan B.; Doll, Ronald J.; Ferreira, Johan A.; Ganguly, Ashit; Girijavallabhan, Viyyoor M.; Taveras, Arthur G.; Chao, Jianping; Baldwin, John J.; Huang, Chia-yu; Li, Ge
PATENT ASSIGNEE(S): Schering Corp., USA; Pharmacopeia, Inc.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039119	A1	20000706	WO 1999-US27958	19991222 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355744	A1	20000706	CA 1999-2355744	19991222 <--
EP 1140909	A1	20011010	EP 1999-965906	19991222 <--
EP 1140909	B1	20050706		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002533455	T	20021008	JP 2000-591030	19991222 <--
AT 299140	T	20050715	AT 1999-965906	19991222
ES 2242444	T3	20051101	ES 1999-965906	19991222
MX 2001PA06611	A	20011203	MX 2001-PA6611	20010626 <--
PRIORITY APPLN. INFO.:			US 1998-220314 A	19981223
			WO 1999-US27958 W	19991222

OTHER SOURCE(S): MARPAT 133:89547
GI



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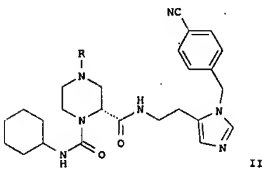


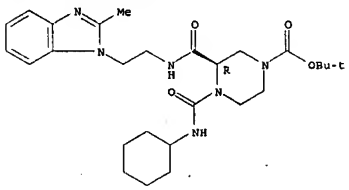
II

AB The invention relates to the preparation of the title compds. (I) [wherein A = blank, H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heterocycloalkyl(alkyl), CN, or heteroaryl(alkyl); Q = (un)substituted amido, sulfonyl, acyl, or carboxy; Y = (hetero)aryl or (hetero)cycloalkyl; Z = H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, or (un)substituted amido, sulfonyl, acyl, or carboxy, heteroaryl(alkyl), heterocycloalkyl(alkyl), or cycloalkenyl(alkyl); R3 = H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heteroaryl(alkyl), or heterocycloalkyl(alkyl); m = 0-3; n = 0 or 1] and their use in pharmaceutical compns. as antitumor and antiproliferative agents. For example, addition of 1-chloro-1-phenylethane and TEA to II (R = H) in anhydrous p-dioxane and refluxing at 105°C for 12 h gave II (R = PhMeCH) in 20% yield. Of the 95 invention compds. tested in an in vitro Ras-CVLS farnesylation assay against partially purified rat brain farnesyl protein transferase (FPT), 55 displayed IC50 of 0.18 nM to 21 nM and 8 displayed IC50 of 0.18 nM to 1.5 nM. I selectively inhibit intracellular processing and phenotypic changes induced by the Ras which is a farnesyl acceptor but not of Ras engineered to be a geranylgeranyl acceptor (no data). By inhibiting farnesyl protein transferase and the farnesylation of the oncogene protein Ras, I block abnormal cell growth in culture induced by transforming Ras. Therefore, I are useful in the treatment of tumors and proliferative diseases in which aberrant Ras activation occurs.

IT 279237-31-9P 281195-40-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-imidazolylalkyl piperazinecarboxamide FPT inhibitors by coupling aminoalkylimidazoles with piperazine carboxylic acids or acid anhydrides and optional N-addition)

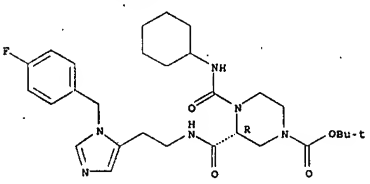
RN 279237-31-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(cyclohexylamino)carbonyl]-3-[[[2-(2-methyl-1H-benzimidazol-1-yl)ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 281195-40-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(cyclohexylamino)carbonyl]-3-[[[2-[1-[(4-fluorophenyl)methyl]-1H-imidazol-5-yl]ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

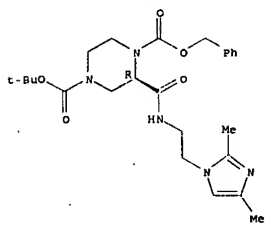
Absolute stereochemistry.



IT 279237-37-5P 279237-99-9P 281195-68-4P
281197-78-2P 281197-81-7P 281197-82-8P
281197-83-9P 281207-21-4P, PS 769295-1-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-imidazolylalkyl piperazinecarboxamide FPT inhibitors by coupling aminoalkylimidazoles with piperazine carboxylic acids or acid anhydrides and optional N-addition)

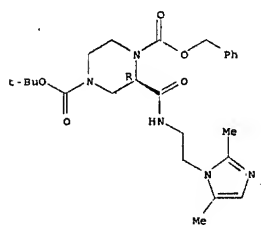
RN 279237-37-5 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[2-(2,4-dimethyl-1H-imidazol-1-yl)ethyl]amino]carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

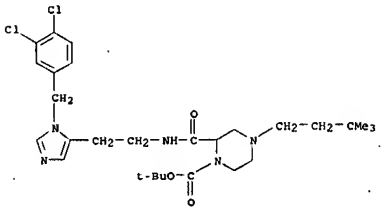


RN 279237-99-9 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[2-(2,5-dimethyl-1H-imidazol-1-yl)ethyl]amino]carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

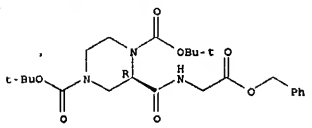


RN 281195-68-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[[[2-[1-[(3,4-dichlorophenyl)methyl]-1H-imidazol-5-yl]ethyl]amino]carbonyl]-4-(3,3-dimethylbutyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



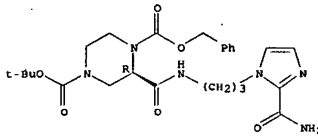
RN 281197-78-2 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



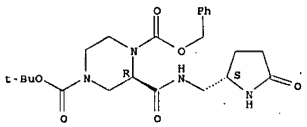
RN 281197-81-7 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[3-[2-(aminocarbonyl)-1H-imidazol-1-yl]propyl]amino]carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



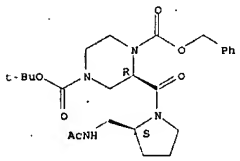
RN 281197-82-8 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[[[(2S)-5-oxo-2-pyrrolidinyl]methyl]amino]carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

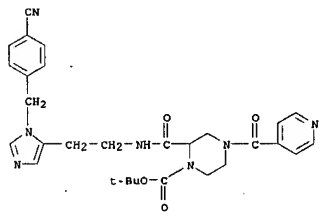


RN 281197-83-9 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[(2S)-2-[(acetylamino)methyl]-1-pyrrolidinyl]carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

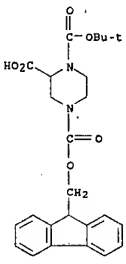


RN 281207-21-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[[[2-[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]ethyl]amino]carbonyl]-4-(4-pyridinylcarbonyl)-, 1,1-dimethylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

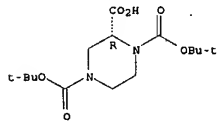
IT 218278-58-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-imidazolylalkyl piperazinecarboxamide FPT inhibitors by coupling aminoalkylimidazoles with piperazine carboxylic acids or acid anhydrides and optional N-addition)
RN 218278-58-1 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 1-(1,1-dimethylethyl) 4-(9H-fluoren-9-ylmethyl) ester (CA INDEX NAME)



IT 173774-48-6P 279236-45-2P 279237-41-1P
279237-42-2P 279237-43-3P 281197-38-4P
281197-39-5P 281197-40-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-imidazolylalkyl piperazinecarboxamide FPT inhibitors by

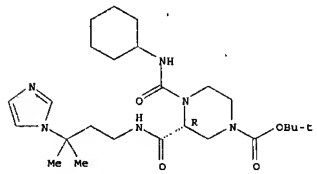
coupling aminoalkylimidazoles with piperazine carboxylic acids or acid anhydrides and optional N-addition)
RN 173774-48-6 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 1,4-bis(1,1-dimethylethyl) ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



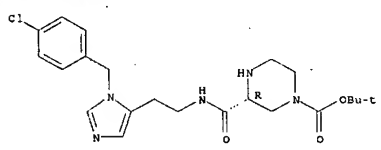
RN 279236-45-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(cyclohexylamino)carbonyl]-3-[[[3-(1H-imidazol-1-yl)-3-methylbutyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



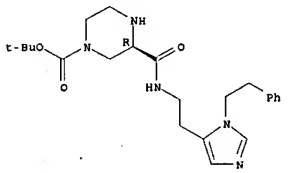
RN 279237-41-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[[2-[1-[(4-chlorophenyl)methyl]-1H-imidazol-5-yl]ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



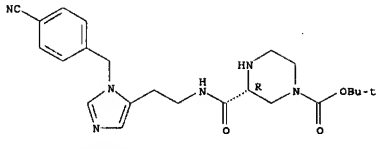
RN 279237-42-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[[2-[1-(2-phenylethyl)-1H-imidazol-5-yl]ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

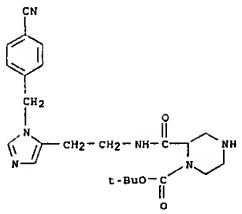


RN 279237-43-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[[2-[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

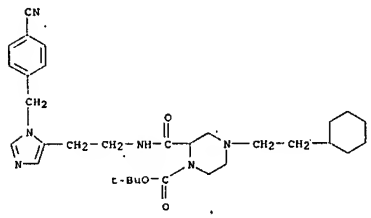
Absolute stereochemistry.



RN 281197-38-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[[[2-[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

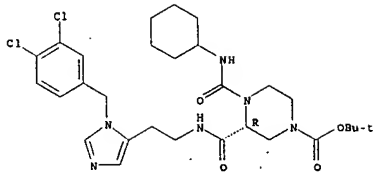


RN 281197-39-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[[[2-[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]ethyl]amino]carbonyl]-4-(2-cyclohexylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 281197-40-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-((cyclohexylamino)carbonyl]-3-[[[2-[1-[(3,4-dichlorophenyl)methyl]-1H-imidazol-5-yl]ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:151487 CAPLUS
DOCUMENT NUMBER: 132:203148
TITLE: Heterocycle-containing dipeptide compounds as growth hormone secretagogues, their preparation, compositions containing them, and their applications
INVENTOR(S): Carpino, Philip Albert
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 94 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000072771	A	20000307	JP 1999-234704	19990820 <--
JP 3486137	B2	20040113		
US 6358951	B1	20020319	US 1999-377326	19990818 <--
CA 2280587	A1	20000221	CA 1999-2280587	19990819 <--
CA 2280587	C	20040921		
CA 2420425	A1	20000221	CA 1999-2420425	19990819 <--
EP 995748	A1	20000426	EP 1999-306576	19990819 <--
EP 995748	B1	20040331		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 263168	T	20040415	AT 1999-306576	19990819
PT 995748	T	20040730	PT 1999-306576	19990819
ES 2217694	T3	20041101	ES 1999-306576	19990819
BR 9903870	A	20001003	BR 1999-3870	19990820 <--
MX 9907844	A	20000331	MX 1999-7844	19990823 <--
US 2002045622	A1	20020418	US 2001-989040	20011121 <--
US 6559150	B2	20030506		
US 2003130284	A1	20030710	US 2002-313495	20021206
US 6686359	B2	20040203		
MX 2003PA06951	A	20031208	MX 2003-PA6951	20030804

PRIORITY APPLN. INFO.:		
US 1998-97502P	P	19980821
US 1999-377326	A3	19990818
CA 1999-2280587	A3	19990819

US 2001-989040 A3 20011121

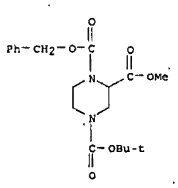
OTHER SOURCE(S): MARPAT 132:203148
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB HET-COCR3R4NX4COR6NR7R8 [I; HET = heterocyclyl Q, Q1, Q2, Q3, Q4 (definitions for variants are given); R3 = certain (un)substituted ring systems (A1), alkyl, A1-alkyl, etc.; R4 = H, alkyl, cycloalkyl or CR3R4 = a ring system; X4 = H, alkyl, or X4 and R4 form a ring; R6 = linking group containing O, S, CH:CH (hetero)arylene; R7, R8 = H, (un)substituted alkyl or R7R8N forms a ring], mixts. of their stereoisomers, diastereomerically or enantiomerically pure isomers, their pharmaceutically acceptable salts, or their prodrugs are claimed. I are growth hormone secretagogues and are useful for increasing the level of endogenous growth hormone, treating musculoskeletal fragility such as osteoporosis in combination with selective estrogen receptor modulators, treating insulin resistance, enhancing milk production, promoting piglet growth, etc. (preparation given) showed dose-related lowering of plasma glucose and/or insulin levels when administered to female rat of three months, which is consistent with an improvement in glycemic control and insulin sensitivity. The treatment was also associated with trends for decreased plasma lactate, cholesterol, and triglyceride levels, which is also consistent with an improvement in lipid profile and metabolic control as a result of improved insulin sensitivity incurred by this treatment.

IT 126937-42-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocycle-containing amide compds. as growth hormone secretagogues and their applications)

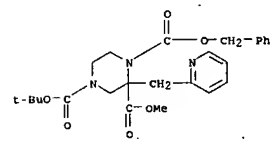
RN 126937-42-6 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 4-(1,1-dimethylethyl) 2-methyl 1-(phenylmethyl) ester (CA INDEX NAME)



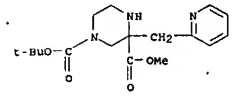
IT 218952-60-4P 218952-61-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocycle-containing amide compds. as growth hormone secretagogues and their applications)

RN 218952-60-4 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 2-(2-pyridinylmethyl)-,

4-(1,1-dimethylethyl) 2-methyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 218952-61-5 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 3-(2-pyridinylmethyl)-, 1-(1,1-dimethylethyl) 3-methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:566029 CAPLUS
DOCUMENT NUMBER: 131:199709
TITLE: Preparation of heterocyclic substituted anilines as calcium channel blockers
INVENTOR(S): Hu, Lain-Yen; Ryder, Todd Robert; Rafferty, Michael Francis
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943658	A1	19990902	WO 1998-US25007	19981120 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9916005	A	19990915	AU 1999-16005	19981120 <--
US 6251919	B1	20010626	US 1999-319900	19990614 <--

PRIORITY APPLN. INFO.:		
US 1998-76141P	P	19980227

WO 1998-US25007 W 19981120

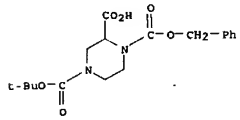
OTHER SOURCE(S): MARPAT 131:199709
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

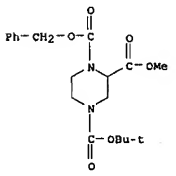
AB The present invention provides piperidine and piperazine compds. that block calcium channels having formula [I; wherein each n is independently 0 to 3; R1 is C1-8 alkyl, substituted C1-8 alkyl, C3-8 cycloalkyl, substituted C3-8 cycloalkyl, heterocycloalkyl, substituted heterocycloalkyl, C2-8 alkenyl, C3-8 cycloalkenyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or arylalkyl; Y is Q - Q7; X is C1-8 alkyl, C1-8 substituted alkyl, C2-8 alkenyl, C2-8 substituted alkenyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, or substituted cycloalkylalkyl; R2 is absent, O, (CH2)n, O(CH2)n, (CH2)nO, N(R5)(CH2)n, (CH2)nN(R5), S(CH2)n, (CH2)nS, C:C, or C.tplbond.C; R3 is monocyclic aryl, substituted monocyclic aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, C1-8 alkyl, substituted C1-8 alkyl, C3-10 cycloalkyl, substituted C3-10 cycloalkyl; R4, R5 are independently H or C1-C8 alkyl] which block N-type calcium channel. The present invention also provides methods of using the compds. of formula I to treat stroke, cerebral ischemia, head trauma, epilepsy, asthma, amyotrophic lateral sclerosis, or pain and to pharmaceutical compns. that contain the compds. of formula I. Thus, reductive alkylation of (4-(benzyloxy)-phenyl](3-methyl-2-butenyl)piperidin-4-ylamine with phenylacetaldehyde using NaBH(OAc)3 in CH2Cl2 at room temperature for 18 h gave the title compound (II). II showed IC50 of 0.30 μM for inhibiting elevated potassium concentration-induced calcium flux into IMR-32 cells through N-type voltage-gated calcium channels.

IT 126937-41-5P, Piperazine-1,2,4-tricarboxylic acid 1-benzyl ester 4-tert-butyl ester 126937-42-6P, Piperazine-1,2,4-tricarboxylic acid 4-(1,1-dimethylethyl) 2-methyl 1-(phenylmethyl) ester 129799-08-2P, Piperazine-1,3-dicarboxylic acid 1-tert-butyl ester 3-methyl ester 241499-34-3P, 4-(3-Methyl-butyl)-piperazine-1,3-dicarboxylic acid 1-tert-butyl ester 3-methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic substituted anilines as calcium channel blockers for treatment of diseases)

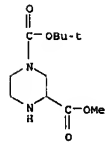
RN 126937-41-5 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (CA INDEX NAME)



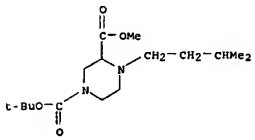
RN 126937-42-6 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 4-(1,1-dimethylethyl) 2-methyl 1-(phenylmethyl) ester (CA INDEX NAME)



RN 129799-08-2 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 1-(1,1-dimethylethyl) 3-methyl ester (CA INDEX NAME)



RN 241499-34-3 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 4-(3-methylbutyl)-, 1-(1,1-dimethylethyl) 3-methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

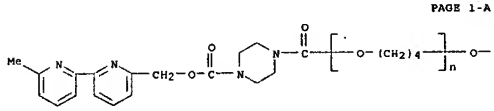
ACCESSION NUMBER: 1998:438713 CAPLUS
DOCUMENT NUMBER: 129:82664
TITLE: Thermoplastic elastomers through polymer-ion complex formation
AUTHOR(S): Eisenbach, C. D.; Goeldel, A.; Terskan-Reinold, M.; Schubert, U. S.
CORPORATE SOURCE: Inst. Technische Chemie II, Univ. Stuttgart, Stuttgart, Germany
SOURCE: Kautschuk Gummi Kunststoffe (1998), 51(6), 422,424-428
CODEN: KGUKAC; ISSN: 0022-9520
PUBLISHER: Huethig GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Segmented ABA triblock and (AB)n multiblock copolymer systems with 6,6'-disubstituted 2,2'-bipyridine building blocks A and poly(oxytetramethylene) soft segments B were complexed with Cu(I) ions to obtain supramol. polymer-io -complexes. The complex formation resulted in a chain extension reaction in case of the ABA triblock copolymer whereas crosslinking occurred in the (AB)n-multiblock copolymer. The resulting segmented block copolymer was a microphase separated system in bulk as concluded from transmission electron microscopy and dynamic mech. anal. The materials exhibited characteristic features of thermoplastic elastomers, depending on the block copolymer architecture and compn.

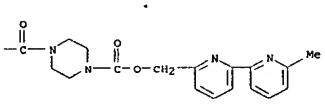
IT 151652-90-3 151652-90-3D, copper complexes 163165-67-1 163165-67-1D, copper complexes 163165-69-3 163165-69-3D, copper complexes
RL: PRP (Properties)
(properties of bipyridine-containing poly(oxytetramethylene)s and their thermoplastic elastomer-copper complexes)

RN 151652-90-3 CAPLUS
CN Poly(oxy-1,4-butanediyl), α-[[4-[[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]carbonyl]-1-piperazinyl]carbonyl]- ω-[[[4-[[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]carbonyl]-1-piperazinyl]carbonyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

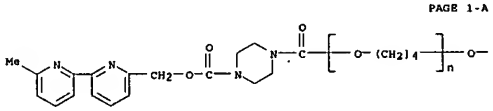


PAGE 1-B



RN 151652-90-3 CAPLUS
CN Poly(oxy-1,4-butanediyl), α-[[4-[[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]carbonyl]-1-piperazinyl]carbonyl]- ω-[[[4-[[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]carbonyl]-1-piperazinyl]carbonyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



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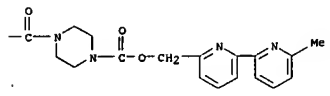
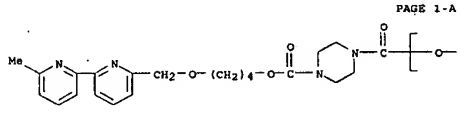


RN 163165-67-1 CAPLUS
CN Poly(oxy-1,4-butanediyl), α-[[4-[[4-[[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]butoxy]carbonyl]-1-piperazinyl]carbonyl]- ω-[[[4-[[4-[[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]butoxy]carbonyl]-1-piperazinyl]carbonyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



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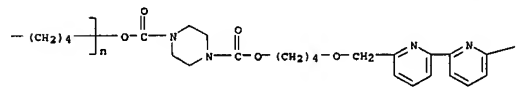
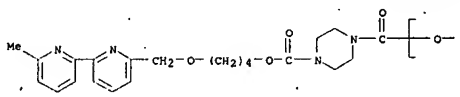


PAGE 1-C

Me

RN 163165-67-1 CAPLUS
CN Poly(oxy-1,4-butanediyl), α-[[4-[[4-[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]butoxy]carbonyl]-1-piperazinyl]carbonyl]- ω-[[[4-[[4-[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]butoxy]carbonyl]-1-piperazinyl]carbonyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



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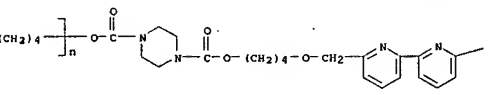


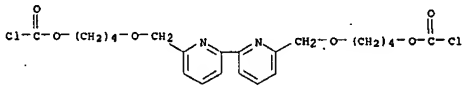
PAGE 1-C

Me

RN 163165-69-3 CAPLUS
CN Carbonochloridic acid, [2,2'-bipyridine]-6,6'-diylbis(methyleneoxy-4,1-butanediyl) ester, polymer with α-(1-piperazinylcarbonyl)- ω-[(1-piperazinylcarbonyl)oxy]poly(oxy-1,4-butanediyl) (9CI) (CA INDEX NAME)

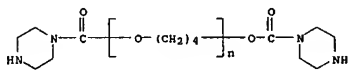
CM 1

CRN 163165-68-2
CMF C22 H26 C12 N2 O6



CM 2

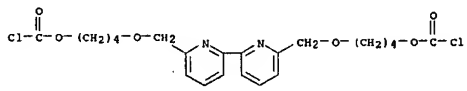
CRN 25497-25-0
CMF (C4 H8 O)n C10 H18 N4 O3
CCI PMS



RN 163165-69-3 CAPLUS
CN Carbonochloridic acid, [2,2'-bipyridine]-6,6'-diylbis(methyleneoxy-4,1-butanediyl) ester, polymer with α-(1-piperazinylcarbonyl)- ω-[(1-piperazinylcarbonyl)oxy]poly(oxy-1,4-butanediyl) (9CI) (CA INDEX NAME)

CM 1

CRN 163165-68-2
CMF C22 H26 C12 N2 O6



CM 2

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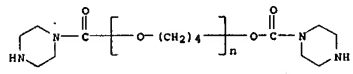
CMF (C4 H8 O)n C10 H18 N4 O3
CCI PMS



L10 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:102855 CAPLUS
DOCUMENT NUMBER: 128:167443
TITLE: Novel compounds [cyclooctylene bis(piperazinecarboxylates) and analogs] and compositions for treating diseases associated with tryptase activity
INVENTOR(S): Dener, Jeffrey Mark; Kuo, Elaine Yee-Lin; Rice, Ken Duane; Wang, Vivian Rueywen; Young, Wendy Beth
PATENT ASSIGNEE(S): Arris Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9804537	A1	19980205	WO 1997-US13422	19970730	<--
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OTHER SOURCE(S): MARPAT 128:167443
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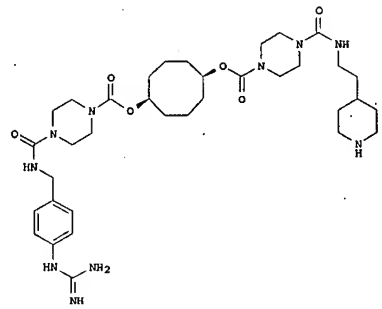
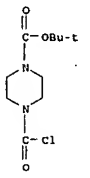
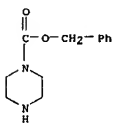


II

AB The invention relates to novel compds. (R1X1X2X3X4)-X5-(X6X7X8X9R2) (I), which are tryptase inhibitors, and their pharmaceutically acceptable salts and N-oxides, as well as their uses as therapeutic agents, and methods of their preparation [wherein X5 = (hetero)cycloalkylene, (hetero)arylene; X4, X6 = bond, alkylene; X1, X9 = bond, CO, CO2, OCO, CONR3, NR3CO, etc.; R3 = H, alkyl, cycloalkyl; X3, X7 = CO, CO2, OCO, CONR3, NR3CO, etc.; X2, X8 = (hetero)alkylene and/or cycloalkylene; R1 = amino, amidino, guanidino, certain N-heterocycles, etc., with optional (hetero)alkylene or other bridge; R2 = amino, 1-iminoethyl, methylamino, or certain N-heterocycles, with required or optional alkylene or other bridge]. The compds. are useful for treating a variety of conditions, including asthma, rheumatoid arthritis, and conjunctivitis. For instance, tert-Bu 4-[(4-guanidinobenzyl)carbamoyl]-1-piperazinecarboxylate trifluoroacetate underwent deprotection with CF3CO2H and amidation with cis-1,5-cyclooctylene chloroformate 4-(tert-butoxycarbonyl)-1-piperazinecarboxylate (77%), followed by a second deprotection and reaction with tert-Bu 4-(2-isocyanatoethyl)-1-piperidinecarboxylate, to give title compound II. Compds. I inhibited human tryptase in vitro with IC50 in the range of 0.0001 to 41 μM.
IT 59878-28-3P 68160-42-9P 121370-60-3P
178972-21-9P 178972-32-2P 178972-37-7P
178972-38-8P 202979-18-8P 202979-21-3P
202979-22-4P 202979-23-5P 202979-25-7P
202979-27-9P 202979-28-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of cyclooctylene bis(piperazinecarboxylates) and analogs as tryptase inhibitors)
RN 59878-28-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(chlorocarbonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

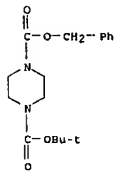


RN 68160-42-9 CAPLUS
CN 1-Piperazinecarboxylic acid, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



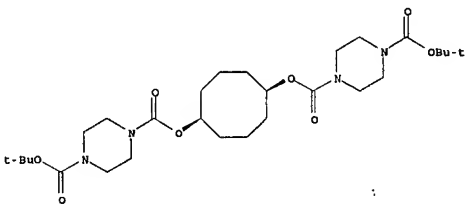
● HCl

RN 121370-60-3 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 1,1-dimethylethyl phenylmethyl ester (9CI) (CA INDEX NAME)



RN 178972-21-9 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, cis-1,5-cyclooctanediyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

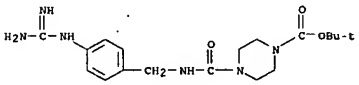
Relative stereochemistry.



RN 178972-32-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 178972-31-1
CMF C18 H28 N6 O3

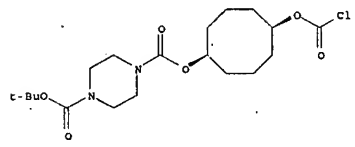


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 178972-37-7 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, cis-5-[(chlorocarbonyl)oxy]cyclooctyl 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

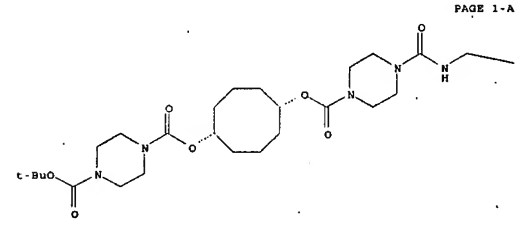
Relative stereochemistry.



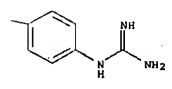
RN 178972-38-8 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, cis-5-[[[4-[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-1-piperazinyl]carbonyl]oxy]cyclooctyl 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

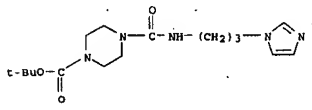
PAGE 1-A



PAGE 1-B

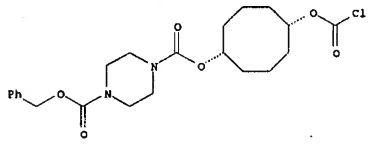


RN 202979-18-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[3-(1H-imidazol-1-yl)propyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



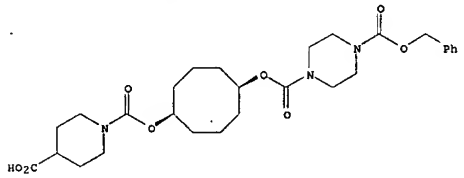
RN 202979-21-3 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 5-[(chlorocarbonyl)oxy]cyclooctyl phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 202979-22-4 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 1-[5-[[(4-carboxy-1-piperidinyl)carbonyl]oxy]cyclooctyl] 4-(phenylmethyl) ester, cis- (9CI) (CA INDEX NAME)

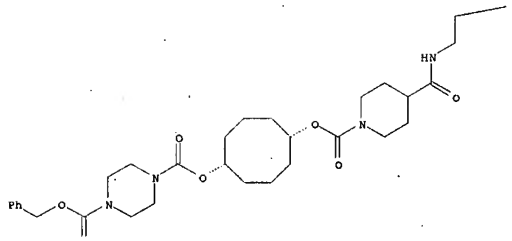
Relative stereochemistry.



RN 202979-23-5 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 5-[[[4-[[[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]amino]carbonyl]-1-piperidinyl]carbonyl]oxy]cyclooctyl phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



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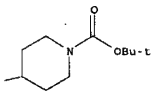
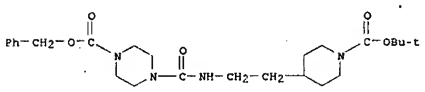
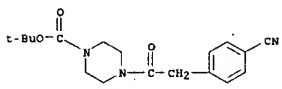


PAGE 2-A

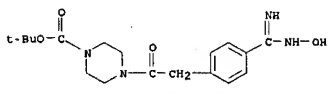
RN 202979-25-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 202979-27-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(4-cyanophenyl)acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 202979-28-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[(hydroxyamino)iminomethyl]phenyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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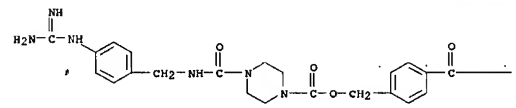
202979-02-0P 202979-03-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclooctylene bis(piperazinecarboxylates) and analogs as tryptase inhibitors)
RN 202978-07-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, [4-[[4-[[[2-(4-piperidinyl)ethyl]amino]carbonyl]-1-piperazinyl]carbonyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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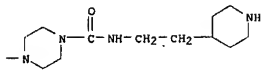
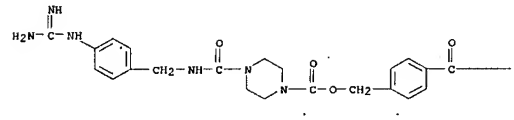


RN 202978-08-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, [4-[[4-[[[3-(4-piperidinyl)propyl]amino]carbonyl]-1-piperazinyl]carbonyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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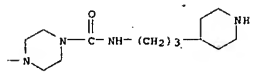
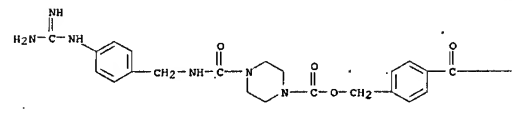


RN 202978-09-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, [4-[[4-[[[4-(4-piperidinyl)butyl]amino]carbonyl]-1-piperazinyl]carbonyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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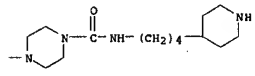
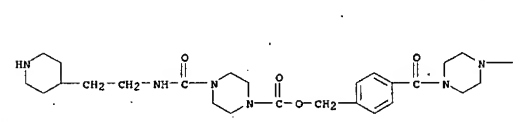


RN 202978-10-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[2-(4-piperidinyl)ethyl]amino]carbonyl]-, [4-[[4-[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-1-piperazinyl]carbonyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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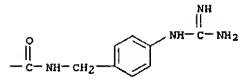
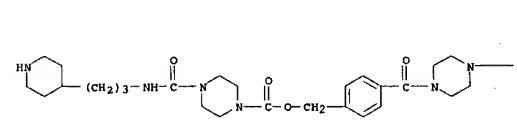


RN 202978-11-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[3-(4-piperidinyl)propyl]amino]carbonyl]-, [4-[[4-[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-1-piperazinyl]carbonyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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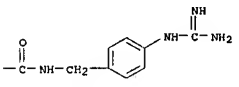
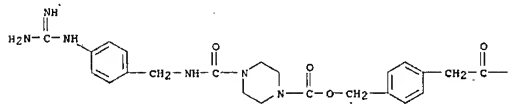


RN 202978-12-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, [4-[2-oxo-2-[4-[[[2-(4-piperidinyl)ethyl]amino]carbonyl]-1-piperazinyl]ethyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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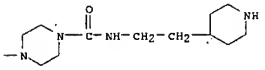
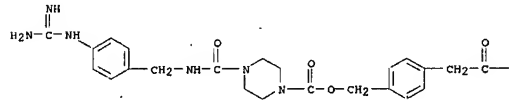


RN 202978-13-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, [4-[2-oxo-2-[4-[[3-(4-piperidinyl)propyl]amino]carbonyl]-1-piperazinyl]ethyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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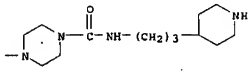
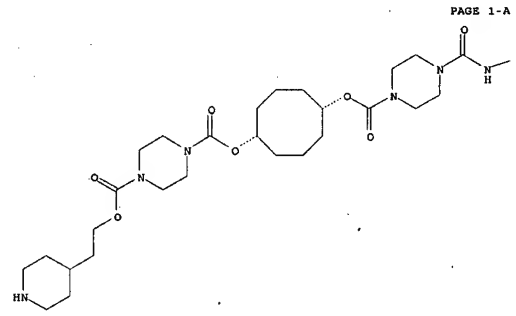


RN 202978-44-7 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 5-[[[4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-1-piperazinyl]carbonyl]oxy]cyclooctyl 2-(4-piperidinyl)ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



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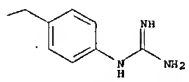
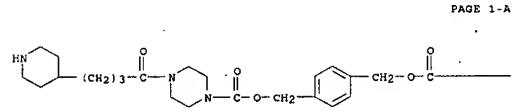


RN 202978-80-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1-oxo-4-(4-piperidinyl)butyl]-,

1,4-phenylenebis(methylene) ester (9CI) (CA INDEX NAME)

PAGE 1-A



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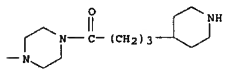
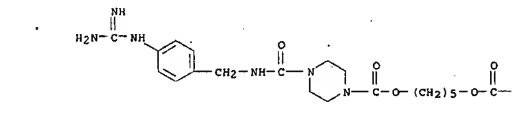


RN 202979-02-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, 1,5-pentanediyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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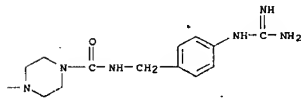
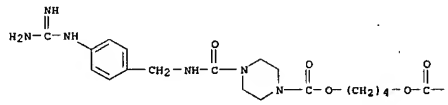


RN 202979-03-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, 1,4-butanediyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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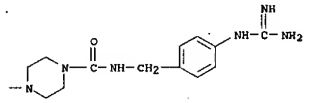
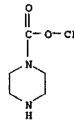
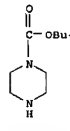


IT 31166-44-6, Benzyl 1-piperazinecarboxylate 57260-71-6, tert-Butyl 1-piperazinecarboxylate
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of cyclooctylene bis(piperazinecarboxylates) and analogs as tryptase inhibitors)

RN 31166-44-6 CAPLUS
CN 1-Piperazinecarboxylic acid, phenylmethyl ester (CA INDEX NAME)



RN 57260-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:400089 CAPLUS
DOCUMENT NUMBER: 127:13457
TITLE: Peptide derivative thrombin inhibitors, preparation and activity thereof, and pharmaceutical compositions
INVENTOR(S): Lumma, William C.; Tucker, Thomas J.; Witherup, Keith M.; Brady, Stephen F.; Whitter, Willie L.; Vacca, Joseph P.; Coburn, Craig; Shafer, Jules A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715190	A1	19970501	WO 1996-US16865	19961021 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2233860	A1	19970501	CA 1996-2233860	19961021 <--
AU 9674634	A	19970515	AU 1996-74634	19961021 <--
AU 709088	B2	19990819		
EP 858262	A1	19980819	EP 1996-936804	19961021 <--
EP 858262	B1	20021204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11514378	T	19991207	JP 1996-516702	19961021 <--
AT 228760	T	20021215	AT 1996-936804	19961021 <--
ES 2186807	T3	20030516	ES 1996-936804	19961021

PRIORITY APPLN. INFO.:

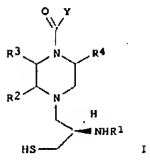
US 1995-6076P	P	19951024
GB 1996-5163	A	19960312
US 1996-23164P	P	19960805
WO 1996-US16865	W	19961021

OTHER SOURCE(S): MARPAT 127:13457

AB Peptide derivs. (Markush included) are prepared which inhibit human thrombin. The compds. of the invention may be used for inhibition of thrombus formation. Preparation of e.g. Boc-D-cyclohexylglycine-proline-N-[2-(O-ethylacetamido)-5-chloro]benzylamide is described. Biol. activity of compds. of the invention is reported, and tablet and i.v. formulations are presented.

IT 76535-75-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; peptide derivative thrombin inhibitors, preparation and activity thereof, and pharmaceutical compns.)

RN 76535-75-6 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 1,4-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

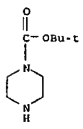


I

AB Compds. which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras were disclosed. More narrowly defined claimed compds. are α-(mercaptomethyl)-1-piperazineethanamines I (Y = Ph, aryl, furanyl, etc.; R1-R4 = H, alkyl, substituent, etc.). The invention is further directed to chemotherapeutic compns. containing the compds. of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

IT 57260-71-6, tert-Butyl 1-piperazinecarboxylate 126937-41-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of α-(mercaptoalkyl)-1-piperazineethanamines farnesyl-protein transferase inhibitors)

RN 57260-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 126937-41-5 CAPLUS
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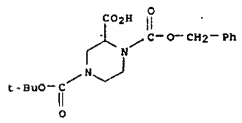

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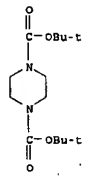
169447-69-2P 169447-70-5P 169447-71-6P



L10 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:881293 CAPLUS
DOCUMENT NUMBER: 123:286080
TITLE: Preparation of α-(mercaptoalkyl)-1-piperazineethanamines as inhibitors of farnesyl-protein transferase
INVENTOR(S): Graham, Samuel L.; Williams, Theresa M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9500497	A1	19950105	WO 1994-US5634	19940519 <--
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2165176	A1	19950105	CA 1994-2165176	19940519 <--
AU 9470412	A	19950117	AU 1994-70412	19940519 <--
AU 675145	B2	19970123		
EP 703905	A1	19960403	EP 1994-919174	19940519 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500109	T	19970107	JP 1994-502810	19940519 <--
ZA 9404326	A	19951214	ZA 1994-4326	19940617 <--
US 5736539	A	19980407	US 1995-549829	19951116 <--

PRIORITY APPLN. INFO.:

US 1993-80028	A	19930618
US 1994-237586	A	19940511
WO 1994-US5634	W	19940519

OTHER SOURCE(S): MARPAT 123:286080
GI

169447-75-0P 169447-76-1P 169447-78-3P
169447-85-2P 169447-86-3P 169447-87-4P
169447-92-1P 169447-93-2P 169447-94-3P
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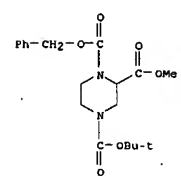
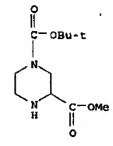
169448-87-7P 169448-88-8P 169448-89-9P
169448-90-2P 169448-93-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of α-(mercaptoalkyl)-1-piperazineethanamines farnesyl-protein transferase inhibitors)

RN 126937-42-6 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 4-(1,1-dimethylethyl) 2-methyl 1-(phenylmethyl) ester (CA INDEX NAME)

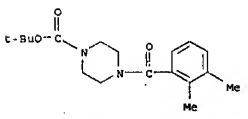


RN 129799-08-2 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 1-(1,1-dimethylethyl) 3-methyl ester (CA INDEX NAME)



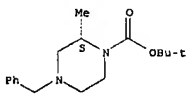
RN 169447-65-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2,3-dimethylbenzoyl)-, 1,1-dimethylethyl

ester (9CI) (CA INDEX NAME)



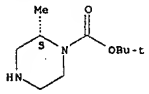
RN 169447-69-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-methyl-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



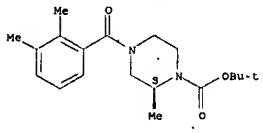
RN 169447-70-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-methyl-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



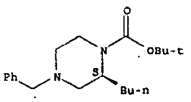
RN 169447-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2,3-dimethylbenzoyl)-2-methyl-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



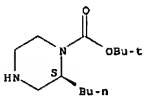
RN 169447-75-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-butyl-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



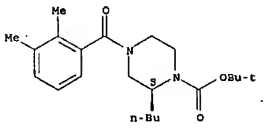
RN 169447-76-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-butyl-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



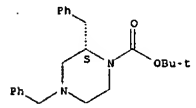
RN 169447-78-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-butyl-4-(2,3-dimethylbenzoyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



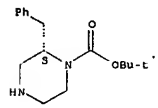
RN 169447-85-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 2,4-bis(phenylmethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



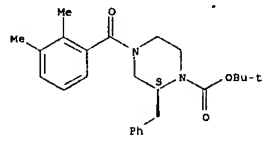
RN 169447-86-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(phenylmethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



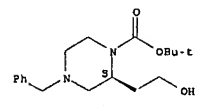
RN 169447-87-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2,3-dimethylbenzoyl)-2-(phenylmethyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



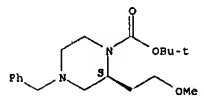
RN 169447-92-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-hydroxyethyl)-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



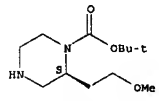
RN 169447-93-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-methoxyethyl)-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



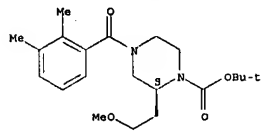
RN 169447-94-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-methoxyethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



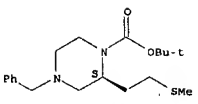
RN 169447-95-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2,3-dimethylbenzoyl)-2-(2-methoxyethyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



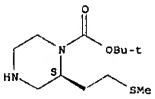
RN 169447-99-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[2-(methylthio)ethyl]-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



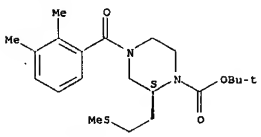
RN 169448-00-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[2-(methylthio)ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



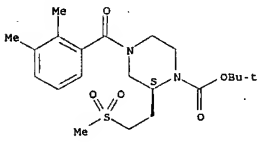
RN 169448-01-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2,3-dimethylbenzoyl)-2-[2-(methylthio)ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



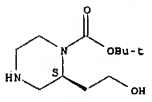
RN 169448-04-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2,3-dimethylbenzoyl)-2-[2-(methylsulfonyl)ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



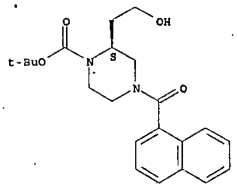
RN 169448-17-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-hydroxyethyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



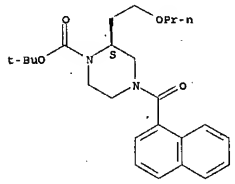
RN 169448-18-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-hydroxyethyl)-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



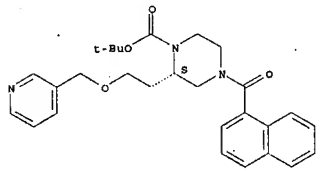
RN 169448-19-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(1-naphthalenylcarbonyl)-2-(2-propoxyethyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



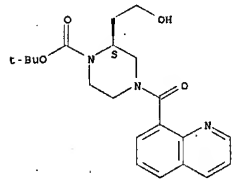
RN 169448-22-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(1-naphthalenylcarbonyl)-2-[2-(3-pyridinylmethoxy)ethyl]-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



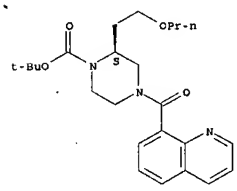
RN 169448-25-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-hydroxyethyl)-4-(8-quinolinylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



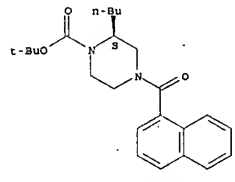
RN 169448-26-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-propoxyethyl)-4-(8-quinolinylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



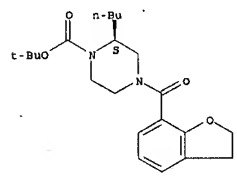
RN 169448-29-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-butyl-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



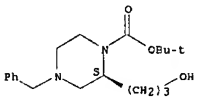
RN 169448-32-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-butyl-4-[(2,3-dihydro-7-benzofuranyl)carbonyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



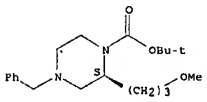
RN 169448-36-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(3-hydroxypropyl)-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



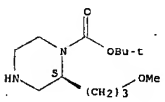
RN 169448-37-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(3-methoxypropyl)-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



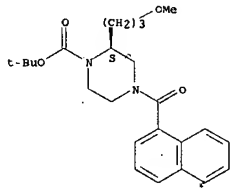
RN 169448-38-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(3-methoxypropyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



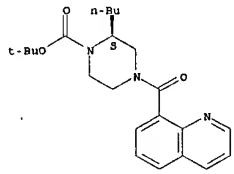
RN 169448-39-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(3-methoxypropyl)-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



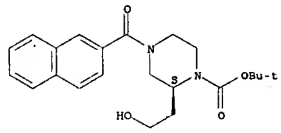
RN 169448-41-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-butyl-4-(8-quinolinylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



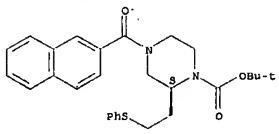
RN 169448-44-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-hydroxyethyl)-4-(2-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



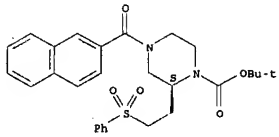
RN 169448-45-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-naphthalenylcarbonyl)-2-[2-(phenylthio)ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



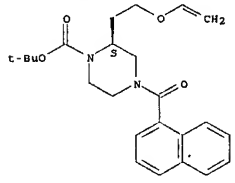
RN 169448-46-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-naphthalenylcarbonyl)-2-[2-(phenylsulfonyl)ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169448-64-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[2-(ethenyloxy)ethyl]-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

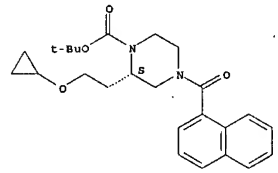
Absolute stereochemistry.



RN 169448-65-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[2-(cyclopropyloxy)ethyl]-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX

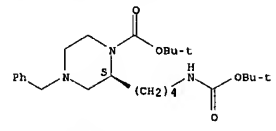
NAME)

Absolute stereochemistry.



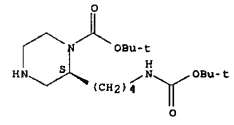
RN 169448-70-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[4-[[(1,1-dimethylethoxy)carbonyl]amino]butyl]-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



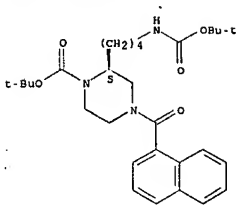
RN 169448-71-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[4-[[(1,1-dimethylethoxy)carbonyl]amino]butyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



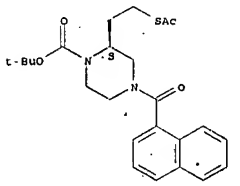
RN 169448-72-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[4-[[(1,1-dimethylethoxy)carbonyl]amino]butyl]-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



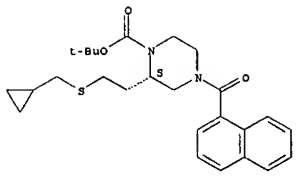
RN 169448-80-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[2-(acetylthio)ethyl]-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



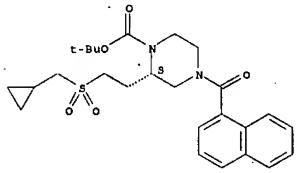
RN 169448-81-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[2-[(cyclopropylmethyl)thio]ethyl]-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



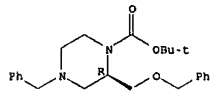
RN 169448-82-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[2-[(cyclopropylmethyl)sulfonyl]ethyl]-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



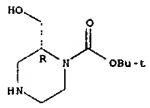
RN 169448-86-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(phenylmethoxy)methyl]-4-(phenylmethyl)-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



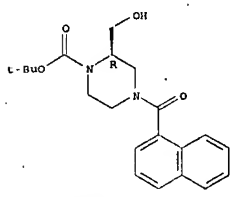
RN 169448-87-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(hydroxymethyl)-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



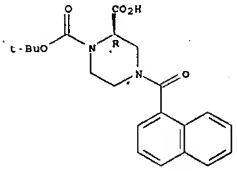
RN 169448-88-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(hydroxymethyl)-4-(1-naphthalenylcarbonyl)-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



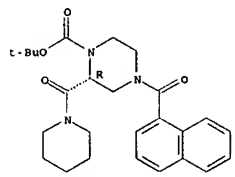
RN 169448-89-9 CAPLUS
CN 1,2-Piperazinedicarboxylic acid, 4-(1-naphthalenylcarbonyl)-, 1-(1,1-dimethylethyl) ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

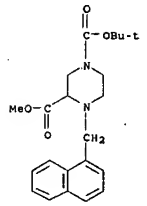


RN 169448-90-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(1-naphthalenylcarbonyl)-2-(1-piperidinylcarbonyl)-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169448-93-5 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 4-(1-naphthalenylmethyl)-, 1-(1,1-dimethylethyl) 3-methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:763490 CAPLUS
DOCUMENT NUMBER: 123:198639
TITLE: Combination of (hydroxyindanyl)piperazinepentanamide II and L 697661 as HIV protease inhibitor
INVENTOR(S): Vacca, Joseph P.; Holloway, M. Katharine; Guare, James P.; Hungate, Randall W.; Dorsey, Bruce D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

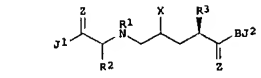
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 617968	A1	19941005	EP 1994-302260	19940329 <--
EP 617968	B1	20030108		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

WO 9422480	A1	19941013	WO 1994-US3209	19940324	<--
W: BB, BG, BR, BY, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TT, UA, UZ					
RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
BR 9406503	A	19960102	BR 1994-6503	19940324	<--
CN 1120316	A	19960410	CN 1994-191671	19940324	<--
CN 1090186	B	20020904			
JP 08508496	T	19960910	JP 1994-522189	19940324	<--
HU 74006	A2	19961028	HU 1995-2860	19940324	<--
SK 279471	B6	19981104	SK 1995-1225	19940324	<--
RU 2139052	C1	19991010	RU 1995-122135	19940324	<--
CZ 286412	B6	20000412	CZ 1995-2528	19940324	<--
RO 118000	B1	20021230	RO 1995-1690	19940324	<--
CA 2120192	A1	19941001	CA 1994-2120192	19940329	<--
AT 230610	T	20030115	AT 1994-302260	19940329	
PT 617968	T	20030331	PT 1994-302260	19940329	
ES 2188604	T3	20030701	ES 1994-302260	19940329	
AU 9459213	A	19941006	AU 1994-59213	19940330	<--
AU 685772	B2	19980129			
ZA 9402255	A	19941101	ZA 1994-2255	19940330	<--
IL 109166	A	19990817	IL 1994-109166	19940330	<--
HR 940209	B1	20040430	HR 1994-209	19940330	
FI 9504580	A	19950927	FI 1995-4580	19950927	<--
NO 9503876	A	19951130	NO 1995-3876	19950929	<--
HK 1009248	A1	20030502	HK 1998-109863	19980812	
LV 13141	B	20040820	LV 2004-2	20040107	
PRIORITY APPLN. INFO.:			US 1993-40729	A 19930331	
			WO 1994-US3209	W 19940324	

OTHER SOURCE(S): MARPAT 123:198639

GI



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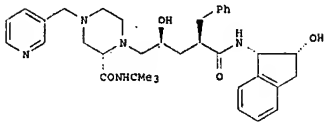


II

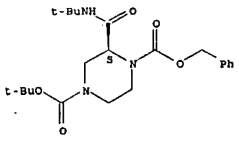
AB Protease inhibitors I [R1, R2 = H, alkyl, aryl, etc.; X = hydroxy, amino; R3 = (un)substituted benzyl, etc.; J1 = alkylamino, etc.; J2 = (hydroxyindanyl)amino, (isoquinolinyl)amino; B = bond; Z = oxygen] were disclosed as HIV protease inhibitors. These compds. are useful in the prevention or treatment of infection by HIV and in the treatment of AIDS, either as compds., pharmaceutically acceptable salts, pharmaceutical compn. ingredients, whether or not in combination with other

antivirals, immune modulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described. A combination of the (hydroxyindanyl)piperazinepentanamide II and L 697661 was claimed.

IT 150323-34-5P 150323-35-6P 150323-36-7P
150323-37-8P 150344-61-9P 150378-18-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(indanyl)piperazinepentanamide virucide protease inhibitor)

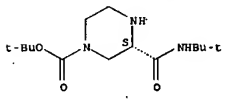
RN 150323-34-5 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[(1,1-dimethylethyl)amino]carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



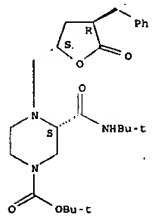
RN 150323-35-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[(1,1-dimethylethyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



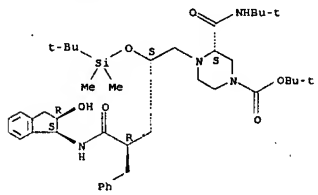
RN 150323-36-7 CAPLUS
CN D-erythro-Pentonic acid, 2,3,5-trideoxy-5-[(2S)-4-[(1,1-dimethylethoxy)carbonyl]-2-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]-2-(phenylmethyl)-, γ-lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.



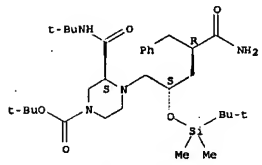
RN 150323-37-8 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-4-[(1,1-dimethylethoxy)carbonyl]-2-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]-4-O-[(1,1-dimethylethyl)dimethylsilyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



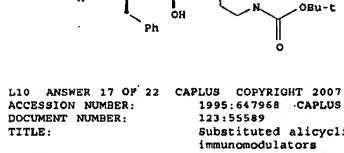
RN 150344-61-9 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-5-[(2S)-4-[(1,1-dimethylethoxy)carbonyl]-2-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]-4-O-[(1,1-dimethylethyl)dimethylsilyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 150378-18-0 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-4-[(1,1-dimethylethoxy)carbonyl]-2-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1995:647968 CAPLUS
DOCUMENT NUMBER:	123:55589
TITLE:	Substituted alicyclic amine-containing macrocyclic immunomodulators
INVENTOR(S):	Kawai, Megumi; Luly, Jay R.
PATENT ASSIGNEE(S):	Abbott Laboratories, USA
SOURCE:	PCT Int. Appl., 73 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	18

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9421254	A1	19940929	WO 1994-US2684	19940311 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2156064	A1	19940929	CA 1994-2156064	19940311 <--
EP 690713	A1	19960110	EP 1994-910921	19940311 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08507788	T	19960820	JP 1994-521134	19940311 <--
US 5530119	A	19960625	US 1994-341255	19941117 <--
US 5561139	A	19961001	US 1995-419784	19950411 <--

US 5561140	A	19961001	US 1995-419799		19950411 <--
US 5541193	A	19960730	US 1995-466302		19950606 <--
PRIORITY APPLN. INFO.:			US 1993-32958	A	19930317
			US 1993-99975	A	19930730
			US 1991-755208	B2	19910905
			US 1993-100512	A1	19930730
			WO 1994-US2684	W	19940311
			US 1994-212473	B1	19940314
			US 1994-341255	A3	19941117
			US 1994-343266	A3	19941121

OTHER SOURCE(S): MARPAT 123:55589

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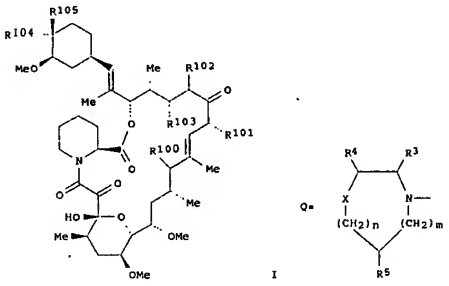
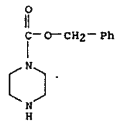


I

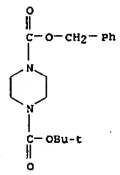
AB The preparation of ascomycin and FK-506 analogs I [R100 = H, OH, halogen, OR13, OR14, R101 = Me, Et, allyl, Pr, R102 = H, R103 = H, OH, R102R103 = bond, R104 , R105 = H, Q, X = S(O)s, s = 0-2, NR1, CR2R2', R1 = H, alkyl, cycloalkylalkyl, etc., R2, R2' = H, OH, amidoalkyl, etc., R3, R4, R5 = H, alkyl, haloalkyl, etc., m, n = 0-2, R13 = PO(OH)O-M+, SO3-M+, CO(CH2)mCOO-M+, M+ = inorg., organic counterion, R14 = acyl, C1-C7 alkyl, etc.], and pharmaceutically acceptable salts, esters, amides and prodrugs thereof, as well as pharmaceutical compns. containing such compds. and methods of immunomodulative therapy utilizing the same are presented.

IT 31166-44-6P, N-(Benzyloxycarbonyl)piperazine 121370-60-3P 163587-60-8P 164332-19-8P 164332-20-1P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and immunomodulator activity of ascomycin and FK-506 analogs)

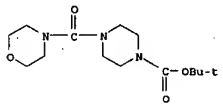
RN 31166-44-6 CAPLUS
CN 1-Piperazinecarboxylic acid, phenylmethyl ester (CA INDEX NAME)



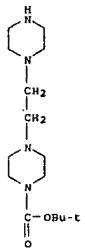
RN 121370-60-3 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 1,1-dimethylethyl phenylmethyl ester (9CI) (CA INDEX NAME)



RN 163587-60-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(4-morpholinylcarbonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

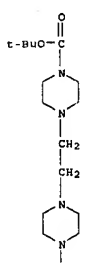


RN 164332-19-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(1-piperazinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

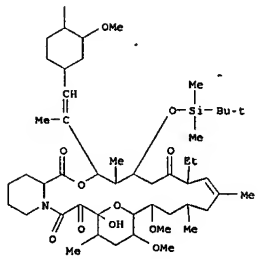


RN 164332-20-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[4-[2-[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-8-ethyl-1,4,5,6,7,8,11,12,13,14,15,16,17,18,19,20,21,23,24,25,26,26a-docosahydro-19-hydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-1,7,20,21-tetraoxo-15,19-epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosin-3-yl]-1-propenyl]-2-methoxycyclohexyl]-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester, [3S-[3R*[E(1R*,2S*,4S*)],4R*,5R*,8S*,9E,12R*,14R*,15S*,16R*,18S*,19S*,26aR*]]- (9CI) (CA INDEX NAME)

PAGE 1-A

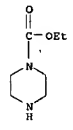


PAGE 2-A

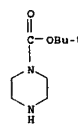


IT 120-43-4, 1-(Ethoxycarbonyl)piperazine 57260-71-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and immunomodulator activity of ascomycin and FK-506 analogs)

RN 120-43-4 CAPLUS
CN 1-Piperazinecarboxylic acid, ethyl ester (CA INDEX NAME)



RN 57260-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester (CA INDEX NAME)



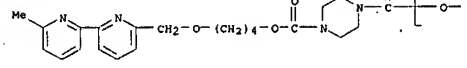
L10 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:517782 CAPLUS
DOCUMENT NUMBER: 122:291715
TITLE: Block copolymers with bipyridine containing segments: synthesis and self-organization by Cu(I) complexation
AUTHOR(S): Eisenbach, Claus D.; Goeldel, Andreas; Terskan-Reinold, Monika; Schubert, Ulrich S.
CORPORATE SOURCE: Bayreuther Institut Makromolekuelforschung, Universitaet Bayreuth, Bayreuth, 95440, Germany
SOURCE: Macromolecular Chemistry and Physics (1995), 196(4), 1077-91
CODEN: MCHPES; ISSN: 1022-1352
PUBLISHER: Huethig & Wepf
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The preparation and properties of segmented ABA triblock and (AB)n multiblock copolymer systems with 6,6'-disubstituted 2,2'-bipyridine building blocks and poly(oxytetramethylene) soft segments are described. In the presence of Cu1+, these polymers formed mononuclear complexes in solution though self-assembly. The complexed copolymers were microphase separated systems in bulk with nano to mesoscopic superstructures consisting of Cu complex aggregates in a polyether matrix. The thermal, mech. and elastomer properties of the block copolymers varied with compn.

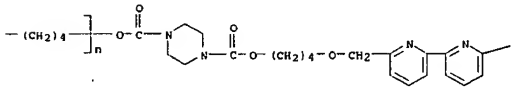
IT 163165-67-1P 163165-69-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Cu complexation of)

RN 163165-67-1 CAPLUS
CN Poly(oxy-1,4-butanediyl), α-[[4-[[4-[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]butoxy]carbonyl]-1-piperazinyl]carbonyl]- ω-[[[4-[[4-[(6'-methyl[2,2'-bipyridin]-6-yl)methoxy]butoxy]carbonyl]-1-piperazinyl]carbonyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

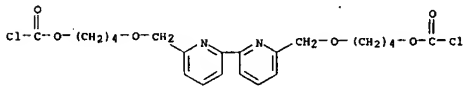


PAGE 1-C

RN 163165-69-3 CAPLUS
CN Carbonochloridic acid, [2,2'-bipyridine]-6,6'-diylbis(methyleneoxy-4,1-butanediyl) ester, polymer with α-(1-piperazinylcarbonyl)- ω-[(1-piperazinylcarbonyl)oxy]poly(oxy-1,4-butanediyl) (9CI) (CA INDEX NAME)

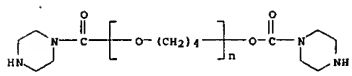
CM 1

CRN 163165-68-2
CMF C22 H26 Cl2 N2 O6



CM 2

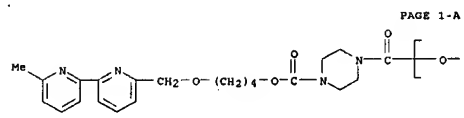
CRN 25497-25-0
CMF (C4 H8 O)n C10 H18 N4 O3
CCI PMS



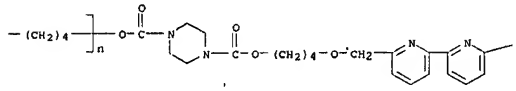
IT 163165-67-1DP, copper complexes 163165-69-3DP, copper complexes
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation, characterization and morphol. of)

RN 163165-67-1 CAPLUS
CN Poly(oxy-1,4-butanediyl), α-[[4-[[4-[(6'-methyl[2,2'-bipyridin]-6-yl]methoxy]butoxy]carbonyl]-1-piperazinyl]carbonyl]- ω-[[[4-[[4-[(6'-methyl[2,2'-bipyridin]-6-yl]methoxy]butoxy]carbonyl]-1-piperazinyl]carbonyl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



PAGE 1-C

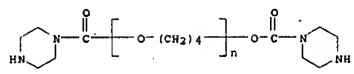
RN 163165-69-3 CAPLUS
CN Carbonochloridic acid, [2,2'-bipyridine]-6,6'-diylbis(methyleneoxy-4,1-butanediyl) ester, polymer with α-(1-piperazinylcarbonyl)- ω-[(1-piperazinylcarbonyl)oxy]poly(oxy-1,4-butanediyl) (9CI) (CA INDEX NAME)

CM 1

CRN 163165-68-2
CMF C22 H26 Cl2 N2 O6

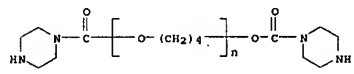
CM 2

CRN 25497-25-0
CMF (C4 H8 O)n C10 H18 N4 O3
CCI PMS



IT 25497-25-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; in preparation of bipyridine-containing block copolymers)

RN 25497-25-0 CAPLUS
CN Poly(oxy-1,4-butanediyl), α-(1-piperazinylcarbonyl)- ω-[(1-piperazinylcarbonyl)oxy]- (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:54552 CAPLUS
DOCUMENT NUMBER: 120:54552
TITLE: Preparation of heterocyclyl pentanamides as HIV protease inhibitors useful for the treatment of AIDS
INVENTOR(S): Vacca, Joseph P.; Holloway, M. Katharine; Dorsey, Bruce D.; Hungate, Randall W.; Guare, James P.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 541168	A1	19930512	EP 1992-203357	19921102	<--
EP 541168	B1	19980311			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
CA 2081970	A1	19930509	CA 1992-2081970	19921102	<--
CA 2081970	C	19970708			
AT 163926	T	19980315	AT 1992-203357	19921102	<--
ES 2112880	T3	19980416	ES 1992-203357	19921102	<--
IL 103613	A	19990509	IL 1992-103613	19921102	<--
CA 2195027	C	20000111	CA 1992-2195027	19921102	<--
WO 9309096	A1	19930513	WO 1992-US9444	19921103	<--
W: BG, CS, FI, HU, KR, NO, PL, RO, RU, UA					
HU 70519	A2	19951030	HU 1994-1424	19921103	<--
HU 220866	B1	20020629			
PL 171340	B1	19970430	PL 1992-303600	19921103	<--
RU 2131416	C1	19990610	RU 1994-27563	19921103	<--
RO 115726	B1	20000530	RO 1994-763	19921103	<--
CZ 287610	B6	20010117	CZ 1994-1110	19921103	<--
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ZA 9208563	A	19930505	ZA 1992-8563		19921106 <--
AU 9228199	A	19930513	AU 1992-28199		19921106 <--
AU 659234	B2	19950511			
JP 05279337	A	19931026	JP 1992-340891		19921109 <--
JP 07033373	B	19950412			
FI 9402112	A	19940506	FI 1994-2112		19940506 <--
FI 106025	B1	20001115			
NO 9401696	A	19940624	NO 1994-1696		19940506 <--
NO 303383	B1	19980706			
FI 9801591	A	19980710	FI 1998-1591		19980710 <--
LV 12208	B	19990320	LV 1998-235		19981026 <--
PRIORITY APPLN. INFO.:			US 1991-789508	A	19911108
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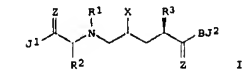
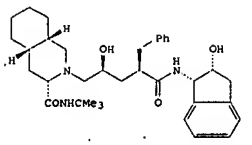
			CA 1992-2081970	A3	19921102
			CS 1994-1110	A	19921103
			WO 1992-US9444	W	19921103

OTHER SOURCE(S): MARPAT 120:54552

GI



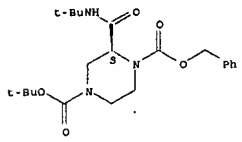
I



II

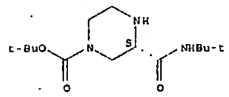
AB The preparation of compds. I (R1, R2 = H, C1-4 alkyl, aryl, R1R2 = monocyclic or bicyclic ring system; R3 = substituted polymethylenyl, substituted benzyl, OH, etc.; X = OH, NH2; Z = O, S, NH; B = bond, amino acid residue; J1 = alkylamino; J2 = heterocyclylamino), e.g. II as HIV protease inhibitors is claimed. These compds. are useful in the prevention or treatment of infection by HIV and in the treatment of AIDS, either as compds., pharmaceutically acceptable salts, pharmaceutical compn. ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Method of treating AIDS and method of preventing or treating infection by HIV are also described.

IT 150323-30-1P 150323-31-2P 150323-34-5P
150323-35-6P 150323-36-7P 150323-37-8P
150344-61-9P 150378-18-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of HIV protease inhibitor useful for the treatment of AIDS)



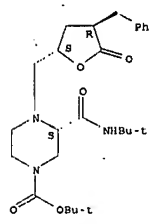
RN 150323-35-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[(1,1-dimethylethyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 150323-36-7 CAPLUS
CN D-erythro-Pentonic acid, 2,3,5-trideoxy-5-[(2S)-4-[(1,1-dimethylethoxy)carbonyl]-2-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]-2-(phenylmethyl)-, γ-lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

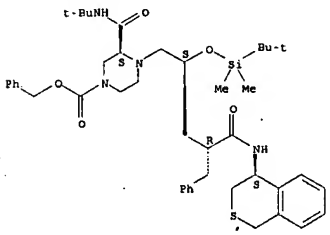


RN 150323-37-8 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-4-[(1,1-dimethylethoxy)carbonyl]-2-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]-4-O-[(1,1-dimethylethyl)dimethylsilyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

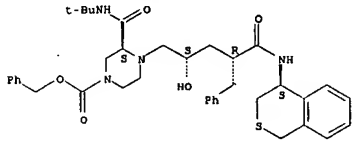
RN 150323-30-1 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-(3,4-dihydro-1H-2-benzothiopyran-4-yl)-5-[2-[[(1,1-dimethylethyl)amino]carbonyl]-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]-4-O-[(1,1-dimethylethyl)dimethylsilyl]-2-(phenylmethyl)-, [1(S),5(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



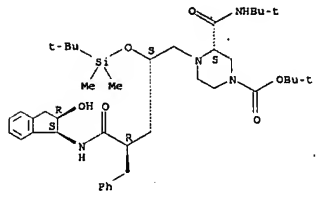
RN 150323-31-2 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-(3,4-dihydro-1H-2-benzothiopyran-4-yl)-5-[2-[[(1,1-dimethylethyl)amino]carbonyl]-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]-2-(phenylmethyl)-, [1(S),5(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



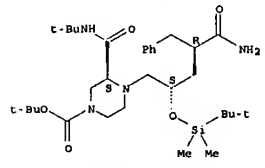
RN 150323-34-5 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[[(1,1-dimethylethyl)amino]carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



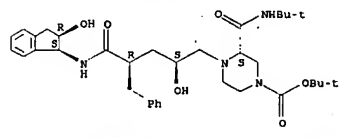
RN 150344-61-9 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-5-[(2S)-4-[(1,1-dimethylethoxy)carbonyl]-2-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]-4-O-[(1,1-dimethylethyl)dimethylsilyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 150378-18-0 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-4-[(1,1-dimethylethoxy)carbonyl]-2-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

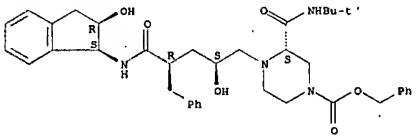


IT 150322-98-8P 150323-02-7P 150323-03-8P

150323-05-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as HIV protease inhibitor useful for the treatment of AIDS)

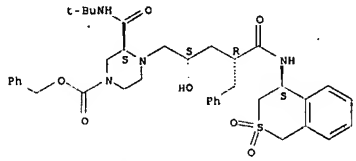
RN 150322-98-8 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



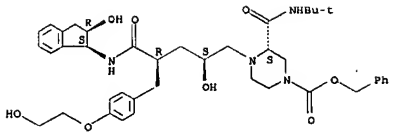
RN 150323-02-7 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(4S)-3,4-dihydro-2,2-dioxido-1H-2-benzothiopyran-4-yl]-5-[(2S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



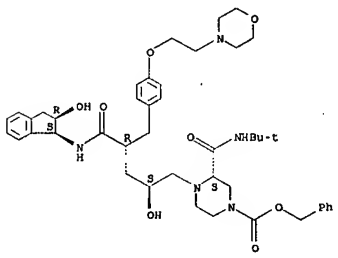
RN 150323-03-9 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]-2-[[4-(2-hydroxyethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 150323-05-0 CAPLUS
CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]-2-[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

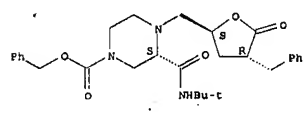
Absolute stereochemistry.



IT 150323-28-7 150323-29-8 150407-68-4
150407-69-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of HIV protease inhibitor useful for the treatment of AIDS)

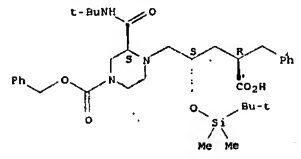
RN 150323-28-7 CAPLUS
CN D-threo-Pentonic acid, 2,3,5-trideoxy-5-[2-[[(1,1-dimethylethyl)amino]carbonyl]-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]-2-(phenylmethyl)-, γ-lactone, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



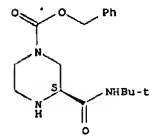
RN 150323-29-8 CAPLUS
CN D-erythro-Pentonic acid, 2,3,5-trideoxy-5-[2-[[(1,1-dimethylethyl)amino]carbonyl]-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]-4-O-[(1,1-dimethylethyl)dimethylsilyl]-2-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



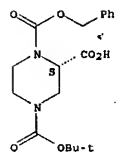
RN 150407-68-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[(1,1-dimethylethyl)amino]carbonyl]-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 150407-69-5 CAPLUS
CN 1,2,4-Piperazinetricarboxylic acid, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S)- (CA INDEX NAME)

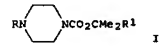
Absolute stereochemistry.



L10 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:197553 CAPLUS
DOCUMENT NUMBER: 94:197553
TITLE: Piperazine-1-carboxylic acid esters possessing antidepressant or analgesic activity
INVENTOR(S): Ohnmacht, Cyrus J.; Malick, Jeffrey B.
PATENT ASSIGNEE(S): ICI Americas, Inc., USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 4247549	A	19810127	US 1978-974146		19781227 <--
PRIORITY APPLN. INFO.:			US 1978-974146	A	19781227
OTHER SOURCE(S):	MARPAT 94:197553				

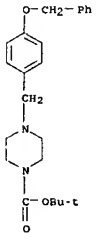
GI



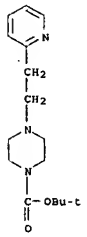
AB One-hundred seventeen piperazinecarboxylates (I, R1 = Me, C.tplbond.CH or CH:CH2 and R = aminocarbonylalkyl), were prepared and tested as antidepressants and as analgesics. Thus, 3.2 g 2-(tert-butoxycarbonyloxyimino)-2-phenylacetonitrile [73371-96-7] was stirred with 1.5 g 1-cyclopropylpiperazine [20327-23-5] in EtOAc to give 1-cyclopropyl-4-(1,1-dimethylethoxycarbonyl)piperazine [77278-34-3]. A tablet compn. was prepared containing I (R1 = Me, R = aminocarbonylethyl) (II) [77278-39-8] 100, starch 80, lactose 80, and talc 20 g. I may be used in capsules or parenterally. II demonstrated an activity .apprx.2 times that of aspirin and about equal to that of meperidine (acetic acid writhing test).

IT 77278-84-3 77279-03-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation of)

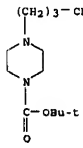
RN 77278-84-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(phenylmethoxy)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



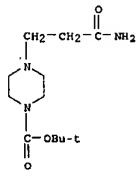
RN 77279-03-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-pyridinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



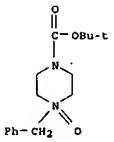
IT 77278-54-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)
RN 77278-54-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-cyanopropyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 77278-39-8P
RL: PREP (Preparation)
(preparation and analgesic and antidepressant activity of)
RN 77278-39-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-amino-3-oxopropyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

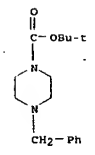


IT 77278-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and rearrangement of)
RN 77278-43-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(phenylmethyl)-, 1,1-dimethylethyl ester, 4-oxide (9CI) (CA INDEX NAME)

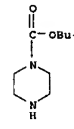


IT 57260-70-5P 76535-74-5P 76535-75-6P
77278-36-5P 77278-37-6P 77278-38-7P

77278-39-8P 77278-45-6P 77278-47-8P
77278-48-9P 77278-52-5P 77278-55-8P
77278-56-9P 77278-57-0P 77278-58-1P
77278-59-2P 77278-60-5P 77278-61-6P
77278-64-9P 77278-65-0P 77278-66-1P
77278-67-2P 77278-68-3P 77278-69-4P
77278-70-7P 77278-71-8P 77278-74-1P
77278-75-2P 77278-77-4P 77278-78-5P
77278-79-6P 77278-80-9P 77278-81-0P
77278-82-1P 77278-83-2P 77278-85-4P
77278-86-5P 77278-88-7P 77278-89-8P
77278-90-1P 77278-91-2P 77278-92-3P
77278-94-5P 77278-96-7P 77278-98-9P
77278-99-0P 77279-00-6P 77279-02-8P
77279-04-0P 77279-05-1P 77279-07-3P
77279-10-8P 77279-11-9P 77279-12-0P
77279-13-1P 77279-14-2P 77279-15-3P
77279-17-5P 77279-19-7P 77279-20-0P
77290-30-3P 77290-31-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57260-70-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(phenylmethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

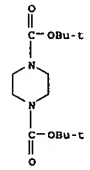


RN 76535-74-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

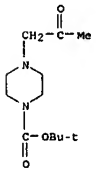


● HCl

RN 76535-75-6 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 1,4-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

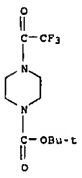


RN 77278-36-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-oxopropyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

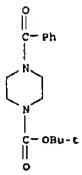


● HCl

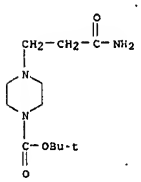
RN 77278-37-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(trifluoroacetyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-38-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-benzoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



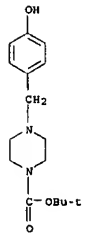
RN 77278-39-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-amino-3-oxopropyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-45-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(4-hydroxyphenyl)methyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

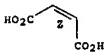
CRN 77278-44-5
CMF C16 H24 N2 O3



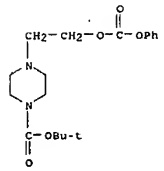
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

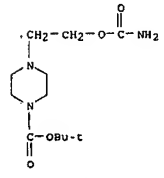


RN 77278-47-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[(phenoxycarbonyl)oxy]ethyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

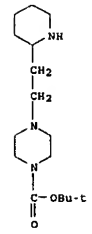
RN 77278-48-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[(aminocarbonyl)oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-52-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-piperidinyl)ethyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

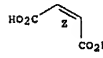
CRN 77278-51-4
CMF C16 H31 N3 O2



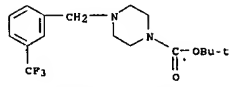
CM 2

CRN 110-16-7
CMF C4 H4 O4

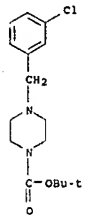
Double bond geometry as shown.



RN 77278-55-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[3-(trifluoromethyl)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

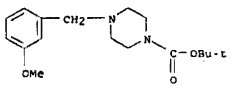


RN 77278-56-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(3-chlorophenyl)methyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

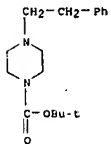


● HCl

RN 77278-57-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(3-methoxyphenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



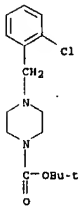
RN 77278-58-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-phenylethyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

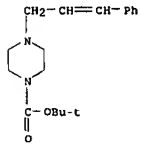
RN 77278-59-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2-chlorophenyl)methyl]-,

1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



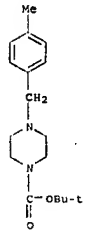
● HCl

RN 77278-60-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-phenyl-2-propenyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



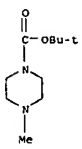
● HCl

RN 77278-61-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(4-methylphenyl)methyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



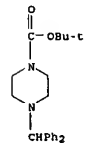
● HCl

RN 77278-64-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



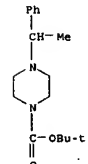
● HCl

RN 77278-65-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(diphenylmethyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

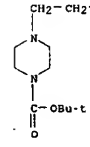


● HCl

RN 77278-66-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(1-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

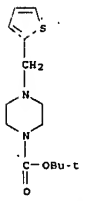


RN 77278-67-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-hydroxyethyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

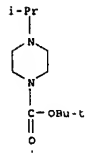


● HCl

RN 77278-68-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-thienylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

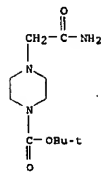


RN 77278-69-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(1-methylethyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

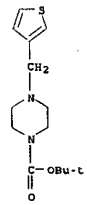


● HCl

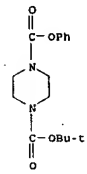
RN 77278-70-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-amino-2-oxoethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-71-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-thienylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

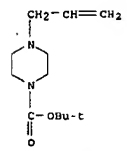


RN 77278-74-1 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 1,1-dimethylethyl phenyl ester (9CI) (CA INDEX NAME)

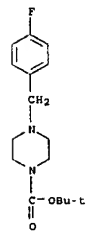


RN 77278-75-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-propenyl)-, 1,1-dimethylethyl ester

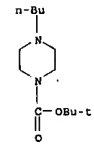
(9CI) (CA INDEX NAME)



RN 77278-77-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(4-fluorophenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

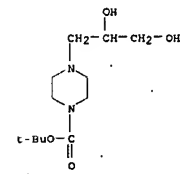


RN 77278-78-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-butyl-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

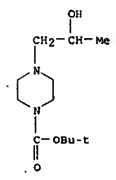


● HCl

RN 77278-79-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2,3-dihydroxypropyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

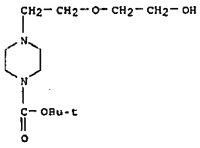


RN 77278-80-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-hydroxypropyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



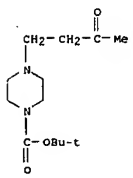
● HCl

RN 77278-81-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-hydroxyethoxy)ethyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

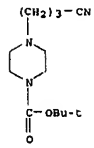


● HCl

RN 77278-82-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-oxobutyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-83-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-cyanopropyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

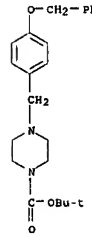


● HCl

RN 77278-85-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(phenylmethoxy)phenyl]methyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

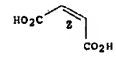
CRN 77278-84-3
CMF C23 H30 N2 O3



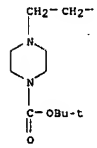
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



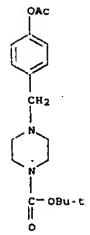
RN 77278-86-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-cyanoethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-88-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(acetyloxy)phenyl]methyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

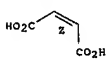
CRN 77278-87-6
CMF C18 H26 N2 O4



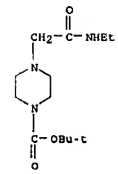
CM 2

CRN 110-16-7
CMF C4 H4 O4

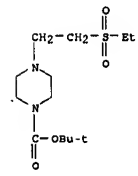
Double bond geometry as shown.



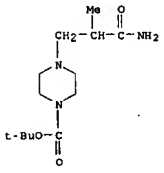
RN 77278-89-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(ethylamino)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



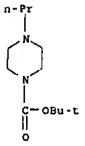
RN 77278-90-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(ethylsulfonyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-91-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-amino-2-methyl-3-oxopropyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-92-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-propyl-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

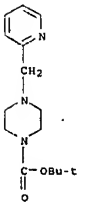


● HCl

RN 77278-94-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-pyridinylmethyl)-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

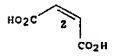
CRN 77278-93-4
CMF C15 H23 N3 O2

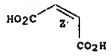


CM 2

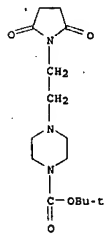
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.





RN 77278-96-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2,5-dioxo-1-pyrrolidinyl)ethyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

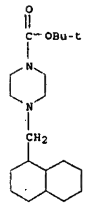


● HCl

RN 77278-98-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(decahydro-1-naphthalenyl)methyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 77278-97-8
CMF C20 H36 N2 O2

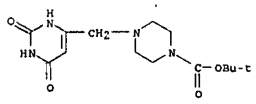


CM 2

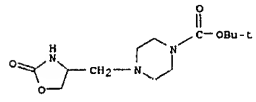
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

RN 77278-99-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



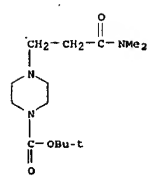
RN 77279-00-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2-oxo-4-oxazolidinyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77279-02-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(dimethylamino)-3-oxopropyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

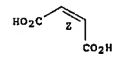
CRN 77279-01-7
CMF C14 H27 N3 O3



CM 2

CRN 110-16-7
CMF C4 H4 O4

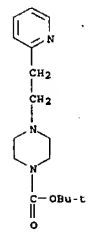
Double bond geometry as shown.



RN 77279-04-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-pyridinyl)ethyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

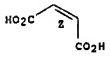
CRN 77279-03-9
CMF C16 H25 N3 O2



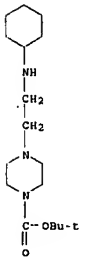
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



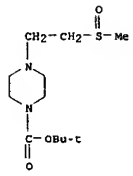
RN 77279-05-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(cyclohexylamino)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77279-07-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(methylsulfinyl)ethyl]-, 1,1-dimethylethyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

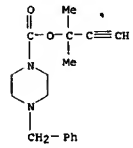
CRN 77279-06-2
CMF C12 H24 N2 O3 S



CM 2

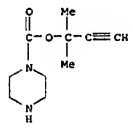
CRN 144-62-7
CMF C2 H2 O4

RN 77279-10-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(phenylmethyl)-, 1,1-dimethyl-2-propynyl ester, monohydrochloride (9CI) (CA INDEX NAME)



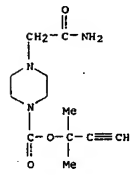
● HCl

RN 77279-11-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethyl-2-propynyl ester, monohydrochloride (9CI) (CA INDEX NAME)

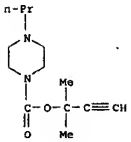


● HCl

RN 77279-12-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-amino-2-oxoethyl)-, 1,1-dimethyl-2-propynyl ester (9CI) (CA INDEX NAME)



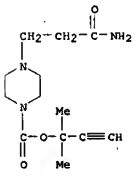
RN 77279-13-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-propyl-, 1,1-dimethyl-2-propynyl ester, monohydrochloride (9CI) (CA INDEX NAME)



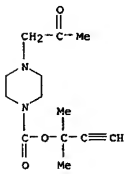
● HCl

RN 77279-14-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-amino-3-oxopropyl)-,

1,1-dimethyl-2-propynyl ester (9CI) (CA INDEX NAME)



RN 77279-15-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-oxopropyl)-, 1,1-dimethyl-2-propynyl ester, monohydrochloride (9CI) (CA INDEX NAME)

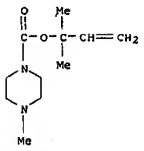


● HCl

RN 77279-17-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 1,1-dimethyl-2-propenyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

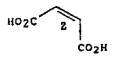
CRN 77279-16-4
CMF C11 H20 N2 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

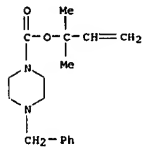
Double bond geometry as shown.



RN 77279-19-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(phenylmethyl)-, 1,1-dimethyl-2-propenyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

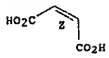
CRN 77279-18-6
CMF C17 H24 N2 O2



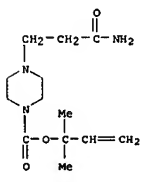
CM 2

CRN 110-16-7
CMF C4 H4 O4

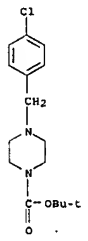
Double bond geometry as shown.



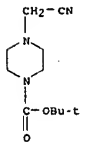
RN 77279-20-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-amino-3-oxopropyl)-, 1,1-dimethyl-2-propenyl ester (9CI) (CA INDEX NAME)



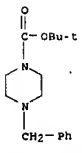
RN 77290-30-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(4-chlorophenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



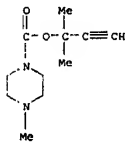
RN 77290-31-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(cyanomethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 57260-70-5P 77278-33-2P 77278-35-4P
77278-36-5P 77278-37-6P 77278-38-7P
77278-44-5P 77278-45-6P 77278-47-8P
77278-48-9P 77278-50-3P 77278-51-4P
77278-52-5P 77278-53-6P 77279-11-9P
77279-21-1P 77279-22-2P
RL: PREP (Preparation)
(preparation of, as analgesic and antidepressant)
RN 57260-70-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(phenylmethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

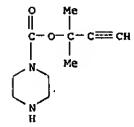


RN 77278-33-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 1,1-dimethyl-2-propynyl ester, monohydrochloride (9CI) (CA INDEX NAME)

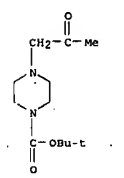


● HCl

RN 77278-35-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethyl-2-propynyl ester (9CI) (CA INDEX NAME)

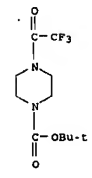


RN 77278-36-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-oxopropyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

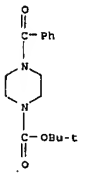


● HCl

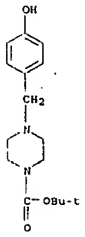
RN 77278-37-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(trifluoroacetyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-38-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-benzoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



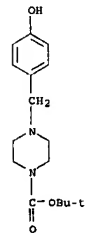
RN 77278-44-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(4-hydroxyphenyl)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 77278-45-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(4-hydroxyphenyl)methyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

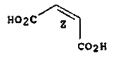
CRN 77278-44-5
CMF C16 H24 N2 O3



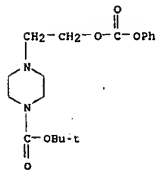
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



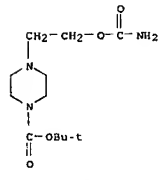
RN 77278-47-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[(phenoxycarbonyl)oxy]ethyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 77278-48-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[(aminocarbonyl)oxy]ethyl]-,

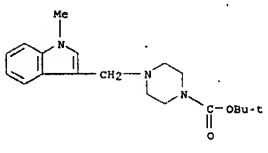
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-50-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(1-methyl-1H-indol-3-yl)methyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

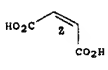
CRN 77278-49-0
CMF C19 H27 N3 O2



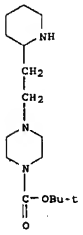
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



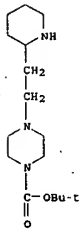
RN 77278-51-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-piperidinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 77278-52-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-piperidinyl)ethyl]-, 1,1-dimethylethyl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

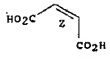
CRN 77278-51-4
CMF C16 H31 N3 O2



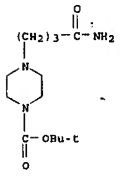
CM 2

CRN 110-16-7
CMF C4 H4 O4

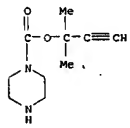
Double bond geometry as shown.



RN 77278-53-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(4-amino-4-oxobutyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

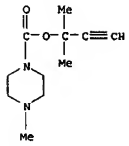


RN 77279-11-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethyl-2-propynyl ester, monohydrochloride (9CI) (CA INDEX NAME)

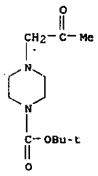


● HCl

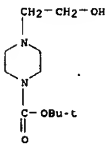
RN 77279-21-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 1,1-dimethyl-2-propynyl ester (9CI) (CA INDEX NAME)



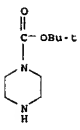
RN 77279-22-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-oxopropyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 77279-24-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with Ph chloroformate)
RN 77279-24-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-hydroxyethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 57260-71-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with carbonyl compds.)
RN 57260-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester (CA INDEX NAME)



L10 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:156973 CAPLUS
DOCUMENT NUMBER: 94:156973
TITLE: Heterocyclic compounds for pharmaceutical compositions
INVENTOR(S): Cotrel, Claude; Crisan, Cornel; Jeanmart, Claude; Messer, Mayer N.
PATENT ASSIGNEE(S): Rhone-Poulenc Industries S. A., Fr.
SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 628,926, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 4220646	A	19800902	US 1977-790801	19770425	<--
FR 2313060	A1	19761231	FR 1974-36963	19741107	<--
FR 2322600	A1	19770401	FR 1975-27160	19750904	<--
FR 2322600	B1	19790914			
FR 2322601	A1	19770401	FR 1975-27161	19750904	<--
FR 2322601	B1	19790914			
FR 2322602	A1	19770401	FR 1975-27162	19750904	<--
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JP 51070776	A	19760618	JP 1975-132198	19751105	<--
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AU 7586331	A	19770512	AU 1975-86331	19751105	<--
AU 503200	B2	19790830			
BE 835325	A1	19760506	BE 1975-161652	19751106	<--
ES 442389	A1	19770416	ES 1975-442389	19751106	<--
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CS 231958	B2	19850116	CS 1977-5983	19770914	<--
CS 231959	B2	19850116	CS 1977-5984	19770914	<--
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PRIORITY APPLN. INFO.:			FR 1974-36963 A	19741107	

FR 1975-27160	A	19750904
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FR 1975-27162	A	19750904
US 1975-628926	A2	19751105
FR 1974-56963	A	19741107
AT 1975-8486	A	19751107
CS 1975-7510	A3	19751107

OTHER SOURCE(S): MARPAT 94:156973
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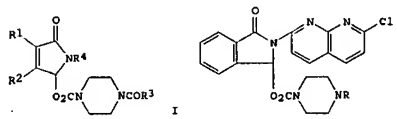
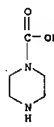
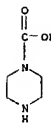


AB The heterocyclic compds. (.apprx.40) I (R1R2 together with the pyrroline ring form an isoindoline, a 2,3,6,7-tetrahydro-5H-1,4-oxathiino[2,3-c]pyrrole, or a 2,3,6,7-tetrahydro-5H-1,4-dithiino[2,3-c]pyrrole; R3 = H, C1-4 alkyl, C2-4 alkenyl, CF3; R4 = chloro-1,8-naphthyridin-2-yl), useful (no data) as tranquilizers, anticonvulsants, muscle relaxants, and hypnotics, were prepared Thus, acetylation of II (R = H) by AcCl gave II (R = Ac). Several pharmaceutical formulations were reported.
IT 24280-45-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by isoindolinylphenylcarbonate derivative)
RN 24280-45-3 CAPLUS
CN 1-Piperazinecarboxylic acid, ethyl ester, monohydrochloride (8CI, 9CI) (CA INDEX NAME)

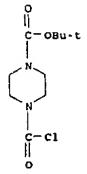


● HCl

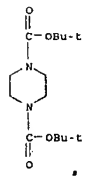
IT 120-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
RN 120-43-4 CAPLUS
CN 1-Piperazinecarboxylic acid, ethyl ester (CA INDEX NAME)



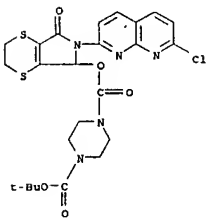
IT 59878-28-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of naphthyridinylpyrrole derivative by)
RN 59878-28-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(chlorocarbonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



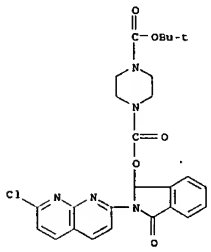
IT 76535-75-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 76535-75-6 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 1,4-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



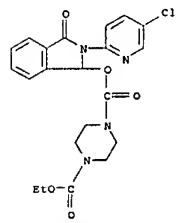
IT 59878-26-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with trifluoroacetic acid)
RN 59878-26-1 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 6-(7-chloro-1,8-naphthyridin-2-yl)-2,3,6,7-tetrahydro-7-oxo-5H-1,4-dithiino[2,3-c]pyrrol-5-yl 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



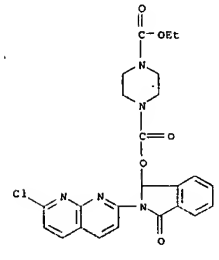
IT 59878-11-4P 59878-17-0P 59878-59-0P
76535-70-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59878-11-4 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-(7-chloro-1,8-naphthyridin-2-yl)-2,3-dihydro-3-oxo-1H-isoindol-1-yl 1;1-dimethylethyl ester (9CI) (CA INDEX NAME)



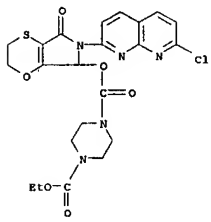
RN 59878-17-0 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-(5-chloro-2-pyridinyl)-2,3-dihydro-3-oxo-1H-isoindol-1-yl ethyl ester (9CI) (CA INDEX NAME)



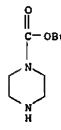
RN 59878-59-0 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-(7-chloro-1,8-naphthyridin-2-yl)-2,3-dihydro-3-oxo-1H-isoindol-1-yl ethyl ester (9CI) (CA INDEX NAME)



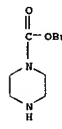
RN 76535-70-1 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 6-(7-chloro-1,8-naphthyridin-2-yl)-2,3,6,7-tetrahydro-5-oxo-5H-1,4-oxathiino[2,3-c]pyrrol-7-yl ethyl ester (9CI) (CA INDEX NAME)



IT 57260-71-6 76535-74-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phosgene)
RN 57260-71-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 76535-74-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L10 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:492453 CAPLUS

10/513699

DOCUMENT NUMBER: 81:92453
TITLE: Filament strand wound product
INVENTOR(S): Griffith, James R.
PATENT ASSIGNEE(S): United States Dept. of the Navy
SOURCE: U.S., 4 pp. Division of U.S. 3,711,361 (CA 78;137387m).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

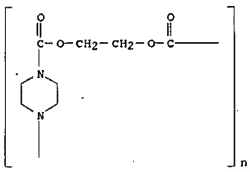
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3794540	A	19740226	US 1972-305752	19721103 <--
US 3544421	A	19701201	US 1967-643321	19670531 <--
US 3711351	A	19730116	US 1970-70808	19700909 <--
PRIORITY APPLN. INFO.:			US 1967-643321	A3 19670531
			US 1970-70808	A3 19700909

AB Stranded glass filaments were drawn consectively through 2 solns., each containing a condensation polymer-forming monomer, then passed through a heating zone to cause polycondensation, were coated with epoxy resin, and were wound to form structural laminates, which were cured to give products with improved stress distribution. Thus, a 5-filament glass strand was passed, at a rate of 1 ft/sec, through a 0.25 M solution of sebacoyl chloride in CCl4, a 0.8 M solution of 1,6-hexamethylenediamine(I) in water, and a heater (at 220.deg.) to form polyamide [25067-44-1]-coated glass strands. The excess I acted as an acceptor to bind the HCl formed in the polycondensation. The strands were subsequently coated with a liquid epoxy resin-curing agent composition, e.g., bisphenol A diglycidyl ether [1675-54-3] and m-aminobenzylamine [4403-70-7], wound on the mandrel of a filament-winding apparatus, and cured.

IT 31587-74-3
RL: USES (Uses)
(coating of, on glass fibers, by interfacial polymerization)

RN 31587-74-3 CAPLUS

CN Poly(1,4-piperazinediylcarbonyloxy-1,2-ethanediyloxycarbonyl) (9CI) (CA INDEX NAME)



RL: TEM (Technical or engineered material use); USES (Uses)
(coatings, for glass fibers for epoxy resin reinforcement

<12/04/2007> Erich Leese